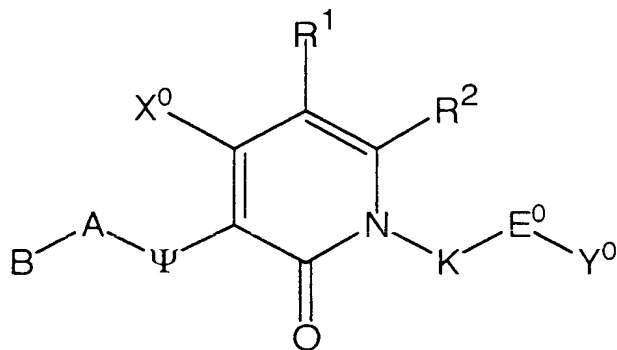


What we claim is:

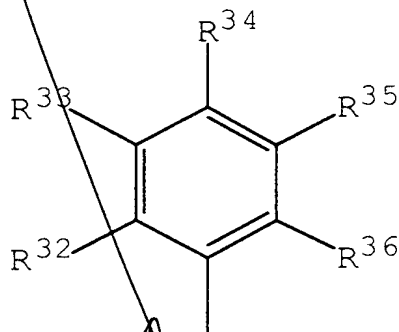
1. A compound having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

5

B is the Formula:



$R^9, R^{10}, R^{11}, R^{12}, R^{13}, R^{32}, R^{33}, R^{34}, R^{35},$ and R^{36} are

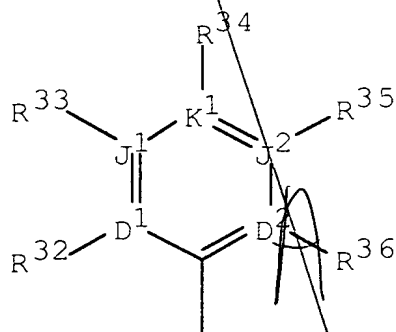
independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio,

10 alkanoyloxy, alkoxy, alkoxyalkyl, haloalkoxylalkyl, hydroxy, amino, alkoxyamino, nitro, lower alkylamino, alkylthio, alkylthioalkyl, alkylsulfinyl, alkylsulfonyl, alkylsulfonylalkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, alkylsulfonamido, alkylaminosulfonyl, amidosulfonyl, monoalkyl
15 amidosulfonyl, dialkyl amidosulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyhaloalkyl, hydroxyalkyl, aminoalkyl, haloalkoxyalkyl, carboxyalkyl, carboalkoxy, carboxy, carboxamido, carboxamidoalkyl, and cyano;

$R^9, R^{10}, R^{11}, R^{12}$, and R^{13} are optionally selected from the group consisting of heteroaryl and heterocyclyl with the proviso that $R^9, R^{10}, R^{11}, R^{12}$, and R^{13} are substituents for other than B;

- $R^{16}, R^{19}, R^{32}, R^{33}, R^{34}, R^{35}$, and R^{36} are independently optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

B is optionally, with the proviso that R^1 and R^2 are selected from the group consisting of a spacer pair and $-W=X-Y=Z-$, Formula (V):



(V)

- 10 wherein D^1, D^2, J^1, J^2 and K^1 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of D^1, D^2, J^1, J^2 and K^1 is O, no more than one of D^1, D^2, J^1, J^2 and K^1 is S, one of D^1, D^2, J^1, J^2 and K^1 must be a covalent bond when two of D^1, D^2, J^1, J^2 and K^1 are O and S,
- 15 and no more than four of D^1, D^2, J^1, J^2 and K^1 are N;

B is optionally selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B may be optionally substituted at any carbon up to and including 6 atoms from the point of

attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

B is selected from the group consisting of C3-C12 cycloalkyl and C4-heterocyclyl, wherein each ring carbon may be optionally substituted with R^{33} ,

- 5 a ring carbon other than the ring carbon at the point of attachment of B to A may be optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and nitrogens adjacent to the carbon at the point of attachment may be optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two
- 10 atoms from the point of attachment may be substituted with R^{10} , a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment may be substituted with R^{12} , a ring carbon three atoms from the point of attachment and adjacent to the R^{10} position may be substituted with R^{11} , a ring carbon three atoms from the point of attachment and adjacent to
- 15 the R^{12} position may be substituted with R^{33} , and a ring carbon four atoms from the point of attachment and adjacent to the R^{11} and R^{33} positions may be substituted with R^{34} ;

- B is optionally, with the proviso that R^1 and R^2 are selected from the group consisting of a spacer pair and $-W=X-Y=Z-$, a C5-C9 saturated
- 20 heterocyclyl, wherein each ring carbon is optionally substituted with R^{33} , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R^9 or
- 25 R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from

the point of attachment is optionally substituted with R^{10} , a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R^{10} position is optionally substituted with R^{11} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R^{12} position is optionally substituted with R^{33} , and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the R^{11} and R^{33} positions is optionally substituted with R^{34} ;

10 A is selected from the group consisting of single covalent bond, $(W^7)_{rr}-(CH(R^{15}))_{pa}$ and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 6, and W^7 is selected from the group consisting of O, S, C(O), $(R^7)NC(O)$, $(R^7)NC(S)$, and $N(R^7)$ with the proviso that no more than one of the group consisting of rr and pa is 0 at the same time;

15 R^7 is selected from the group consisting of hydrido, hydroxy, and alkyl;

R^{15} is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

20 Ψ is selected from the group consisting of NH and NOH;

R^1 and X^0 are independently selected from the group consisting of hydrido, alkyl, alkenyl, cyano, halo, haloalkyl, haloalkoxy, haloalkylthio, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

X^0 and R^1 and R^1 and R^2 , with the proviso that no more than one of the group consisting of spacer pair X^0 and R^1 and spacer pair R^1 and R^2 is be used at the same time, are optionally selected to be $-W=X-Y=Z-$ wherein $-W=X-Y=Z-$ forms a ring selected from the group consisting of a heteroaryl ring having from 5 through 6 contiguous members and an aryl;

W, X, Y, and Z are independently selected from the group consisting of $C(R^9)$, $C(R^{10})$, $C(R^{11})$, $C(R^{12})$, N, $N(R^{10})$, O, S and a covalent bond with the provisos that one of W, X, Y, and Z is independently selected to be a covalent bond when one of W, X, Y, and Z is selected from the group consisting of N, $N(R^{10})$, O, and S, no more than one of W, X, Y, and Z is optionally selected from the group consisting of O and S, and no more than three of W, X, Y, and Z are optionally selected from the group consisting of N and $N(R^{10})$;

X^0 and R^1 and R^1 and R^2 spacer pairs are independently optionally selected to be taken together to form a spacer pair wherein the spacer pair forms a linear moiety having from 3 through 6 contiguous atoms connecting the points of bonding of said spacer pair members to form a ring selected from the group consisting of a cycloalkenyl ring having from 5 through 8 contiguous members and a partially saturated heterocyclyl ring having from 5 through 8 contiguous members, wherein said spacer pair is optionally substituted with one or more of the group consisting of R^9 , R^{10} , R^{11} , R^{12} , and R^{13} and with the proviso that no more than one of the group consisting of spacer pair X^0 and R^1 and spacer pair R^1 and R^2 is present at the same time;

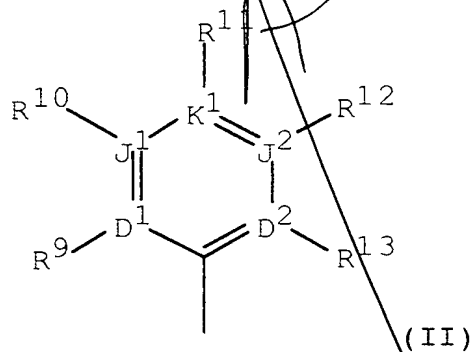
R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of covalent single bond, $(CR^{41}R^{42})_q$ wherein q is an integer selected from 1 through 3, $(CH(R^{41}))_g$, $W^0-(CH(R^{42}))_p$ wherein g and p are integers independently selected from 0 through 3 and W^0 is selected from the group consisting of O, S, $C(O)$, $S(O)$,

$N(R^{41})$, and $ON(R^{41})$, and $(CH(R^{41}))_e - W^{22} - (CH(R^{42}))_h$ wherein e and h are integers independently selected from 0 through 1 and W^{22} is selected from the group consisting of $CR^{41} = CR^{42}$, 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, with the proviso that Z^0 is directly bonded to the pyrazinone ring;

R^{41} and R^{42} are independently selected from the group consisting of amidino, hydroxyamino, hydrido, hydroxy, amino, and alkyl;

Q is selected from the group consisting of hydrido, with the proviso that Z^0 is other than a covalent single bond, and the formula (II):



wherein D^1 , D^2 , J^1 , J^2 and K^1 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is O, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is S, one of D^1 , D^2 , J^1 , J^2 and K^1 must be a covalent bond when two of D^1 , D^2 , J^1 , J^2 and K^1 are O and S,

and no more than four of D^1 , D^2 , J^1 , J^2 and K^1 are N, with the proviso that R^9 , R^{10} , R^{11} , R^{12} , and R^{13} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

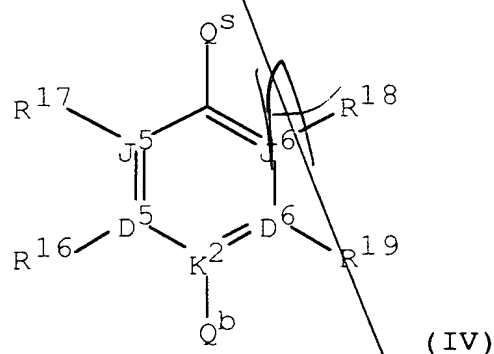
5 K is $(CR^{4a}R^{4b})_n$ wherein n is an integer selected from 1 through 2;

R^{4a} and R^{4b} are independently selected from the group consisting of halo, hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

E^0 is E^1 , when K is $(CR^{4a}R^{4b})_n$, wherein E^1 is selected from the group consisting of a covalent single bond, $C(O)$, $C(S)$, $C(O)N(R^7)$,

10 $(R^7)NC(O)$, $S(O)_2$, $(R^7)NS(O)_2$, and $S(O)_2N(R^7)$;

Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more
 15 than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, nitro, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, alkylamino, haloalkoxyalkyl, carboalkoxy, and cyano;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, aminoalkylenyl,

Q^{be} wherein Q^{be} is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and

$C(NR^{25})NR^{23}R^{24}$, with the provisos that no more than one of R^{20} and R^{21}

is hydroxy, amino, alkylamino, or dialkylamino at the same time and that no more than one of R^{23} and R^{24} is hydroxy, amino, alkylamino, or dialkylamino at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, hydroxy, aminoalkylenyl, amino, dialkylamino, alkylamino, and hydroxyalkyl;

Q^s is selected from the group consisting of a single covalent bond,

$(CR^{37}R^{38})_b$ wherein b is an integer selected from 1 through 4, and

$(CH(R^{14}))_c-W^1-(CH(R^{15}))_d$ wherein c and d are integers independently

selected from 1 through 3 and W^1 is selected from the group consisting of

$C(O)N(R^{14})$, $(R^{14})NC(O)$, $S(O)$, $S(O)_2$, $S(O)_2N(R^{14})$, $N(R^{14})S(O)_2$, and

$N(R^{14})$, with the provisos that R^{14} is selected from other than halo when

directly bonded to N and that $(CR^{37}R^{38})_b$, and $(CH(R^{14}))_c$ are bonded to E^0 ;

R^{14} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R^{37} and R^{38} are independently selected from the group consisting of hydrido, alkyl, and haloalkyl;

R^{38} is optionally selected from the group consisting of aroyl and heteroaroyl;

Y^0 is optionally Q^b-Q^{ss} wherein Q^{ss} is $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$,

wherein e and h are integers independently selected from 1 through 2 and W^2

5 is $CR^{4a}=CR^{4b}$ with the proviso that $(CH(R^{14}))_e$ is bonded to E^0 ;

Y^0 is optionally selected from the group consisting of Q^b-Q^{sssr} and Q^b-Q^{sssr}

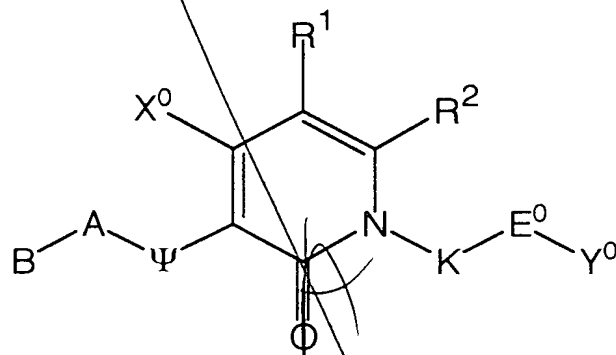
wherein Q^{sssr} is $(CH(R^{38}))_r-W^5$ and Q^{sssr} is $(CH(R^{38}))_r-W^6$, r is an

integer selected from 1 through 2, and W^5 and W^6 are independently selected

10 from the group consisting of 1,4-indenyl, 1,5-indenyl, 1,6-indenyl, 1,7-indenyl, 2,7-indenyl, 2,6-indenyl, 2,5-indenyl, 2,4-indenyl, 3,4-indenyl, 3,5-indenyl, 3,6-indenyl, 3,7-indenyl, 2,4-benzofuranyl, 2,5-benzofuranyl, 2,6-benzofuranyl, 2,7-benzofuranyl, 3,4-benzofuranyl, 3,5-benzofuranyl, 3,6-benzofuranyl, 3,7-benzofuranyl, 2,4-benzothiophenyl, 2,5-benzothiophenyl, 2,6-benzothiophenyl, 2,7-benzothiophenyl, 3,4-benzothiophenyl, 3,5-benzothiophenyl, 3,6-benzothiophenyl, 3,7-benzothiophenyl, 2,7-imidazo(1,2-a)pyridinyl, 3,4-imidazo(1,2-a)pyridinyl, 3,5-imidazo(1,2-a)pyridinyl, 3,6-imidazo(1,2-a)pyridinyl, 3,7-imidazo(1,2-a)pyridinyl, 2,4-indolyl, 2,5-indolyl, 2,6-indolyl, 2,7-indolyl, 3,4-indolyl, 3,5-indolyl, 3,6-indolyl, 3,7-indolyl, 1,4-isoindolyl, 1,5-isoindolyl, 1,6-isoindolyl, 2,4-isoindolyl, 2,5-isoindolyl, 2,6-isoindolyl, 2,7-isoindolyl, 1,3-isoindolyl, 3,4-indazolyl, 3,5-indazolyl, 3,6-indazolyl, 3,7-indazolyl, 2,4-benzoxazolyl, 2,5-benzoxazolyl, 2,6-benzoxazolyl, 2,7-benzoxazolyl, 3,4-benzisoxazolyl, 3,5-benzisoxazolyl, 3,6-benzisoxazolyl, 3,7-benzisoxazolyl, 1,4-naphthyl, 1,5-naphthyl, 1,6-naphthyl, 1,7-naphthyl, 1,8-naphthyl, 2,4-naphthyl, 2,5-naphthyl, 2,6-naphthyl, 2,7-naphthyl, 2,8-naphthyl, 2,4-quinoliny, 2,5-quinoliny, 2,6-quinoliny, 2,7-quinoliny, 2,8-quinoliny, 3,4-quinoliny, 3,5-quinoliny, 3,6-quinoliny, 3,7-quinoliny, 3,8-quinoliny, 4,5-quinoliny, 4,6-quinoliny, 4,7-quinoliny, 4,8-quinoliny, 1,4-isoquinoliny, 1,5-isoquinoliny, 1,6-isoquinoliny, 1,7-isoquinoliny, 1,8-isoquinoliny, 3,4-isoquinoliny, 3,5-isoquinoliny, 3,6-isoquinoliny, 3,7-isoquinoliny, 3,8-isoquinoliny, 4,5-isoquinoliny, 4,6-isoquinoliny, 4,7-isoquinoliny, 4,8-

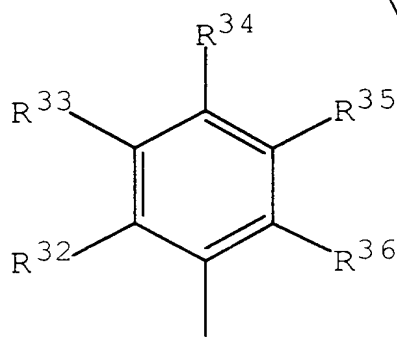
isquinolinyl, 3,4-cinnolinyl, 3,5-cinnolinyl, 3,6-cinnolinyl, 3,7-cinnolinyl, 3,8-cinnolinyl, 4,5-cinnolinyl, 4,6-cinnolinyl, 4,7-cinnolinyl, and 4,8-cinnolinyl, and each carbon and hydrido containing nitrogen member of the ring of the W^5 and of the ring of the W^6 , other than the points of attachment of W^5 and W^6 , is optionally substituted with one or more of the group consisting of R^9 , R^{10} , R^{11} , and R^{12} , with the provisos that Q^b is bonded to lowest number substituent position of each W^5 , Q^b is bonded to highest number substituent position of each W^6 , and $(CH(R^{38}))_r$ is bonded to E^0 .

10. 2. The compound as recited in Claim 1 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

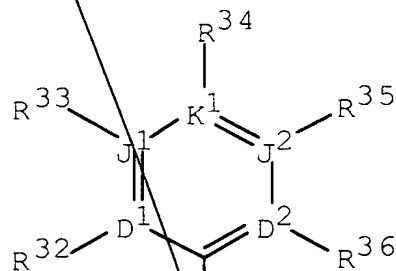
B is the Formula:



15 R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylendioxy, haloalkylthio, alkanoyloxy, alkoxy, hydroxy, amino,

- alkoxyamino, haloalkanoyl, nitro, lower alkylamino, alkylthio, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyalkyl, alkylamino, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

B is optionally, with the proviso that R^1 and R^2 are selected from the group consisting of a spacer pair and $-W=X-Y=Z-$, Formula (V):



(V)

- wherein D^1 , D^2 , J^1 , J^2 and K^1 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is O, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is S, one of D^1 , D^2 , J^1 , J^2 and K^1 must be a covalent bond when two of D^1 , D^2 , J^1 , J^2 and K^1 are O and S, and no more than four of D^1 , D^2 , J^1 , J^2 and K^1 are N;

- B is optionally selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

B is selected from the group consisting of C3-C12 cycloalkyl and C4-heterocyclyl, wherein each ring carbon may be optionally substituted with R^{33} ,

a ring carbon other than the ring carbon at the point of attachment of B to A
 may be optionally substituted with oxo provided that no more than one ring
 carbon is substituted by oxo at the same time, ring carbons and nitrogens
 adjacent to the carbon at the point of attachment may be optionally substituted
 5 with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two
 atoms from the point of attachment may be substituted with R^{10} , a ring carbon
 or nitrogen adjacent to the R^{13} position and two atoms from the point of
 attachment may be substituted with R^{12} , a ring carbon three atoms from the
 point of attachment and adjacent to the R^{10} position may be substituted with
 10 R^{11} , a ring carbon three atoms from the point of attachment and adjacent to
 the R^{12} position may be substituted with R^{33} , and a ring carbon four atoms
 from the point of attachment and adjacent to the R^{11} and R^{33} positions may be
 substituted with R^{34} ;

B is optionally, with the proviso that R^1 and R^2 are selected from the
 15 group consisting of a spacer pair and $-W=X-Y=Z-$, a C5-C9 saturated
 heterocyclyl, wherein each ring carbon is optionally substituted with R^{33} , a
 ring carbon other than the ring carbon at the point of attachment of B to A is
 optionally substituted with oxo provided that no more than one ring carbon is
 substituted by oxo at the same time, ring carbons and nitrogen adjacent to the
 20 carbon atom at the point of attachment are optionally substituted with R^9 or
 R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from
 the point of attachment is optionally substituted with R^{10} , a ring carbon or
 nitrogen adjacent to the R^{13} position and two atoms from the point of
 attachment is optionally substituted with R^{12} , a ring carbon or nitrogen three

atoms from the point of attachment and adjacent to the R^{10} position is optionally substituted with R^{11} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R^{12} position is optionally substituted with R^{33} , and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the R^{11} and R^{33} positions is optionally substituted with R^{34} ;

R^9 , R^{10} , R^{11} , R^{12} , and R^{13} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfamido, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;

R^9 , R^{10} , R^{11} , R^{12} , and R^{13} are optionally selected from the group consisting of heteroaryl and heterocyclyl with the proviso that R^9 , R^{10} , R^{11} , R^{12} , and R^{13} are substituents for other than B;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is selected from the group

consisting of O, S, C(O), $(R^7)NC(O)$, $(R^7)NC(S)$, and $N(R^7)$;

R^7 is selected from the group consisting of hydrido, hydroxy and alkyl;

R^{15} is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

R^1 and X^0 are independently selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl,

alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

R^1 and R^2 are optionally selected to be $-W=X-Y=Z-$ wherein $-W=X-Y=Z-$ forms a ring selected from the group consisting of a heteroaryl ring having from 5 through 6 contiguous members and an aryl;

W, X, Y, and Z are independently selected from the group consisting of $C(R^9)$, $C(R^{10})$, $C(R^{11})$, $C(R^{12})$, N, $N(R^{10})$, O, S and a covalent bond with the proviso that one of W, X, Y, and Z is independently selected to be a covalent bond when one of W, X, Y, and Z is selected from the group consisting of N, $N(R^{10})$, O, and S, no more than one of W, X, Y, and Z is optionally selected from the group consisting of O and S, and no more than three of W, X, Y, and Z are optionally selected from the group consisting of N and $N(R^{10})$;

R^1 and R^2 spacer pairs are independently optionally selected to be taken together to form a spacer pair wherein the spacer pair forms a linear moiety having from 3 through 6 contiguous atoms connecting the points of bonding of said spacer pair members to form a ring selected from the group consisting of a cycloalkenyl ring having from 5 through 8 contiguous members and a partially saturated heterocyclyl ring having from 5 through 8 contiguous members, wherein said spacer pair is optionally substituted with one or more of the group consisting of R^9 , R^{10} , R^{11} , R^{12} , and R^{13} ;

R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of covalent single bond and $(CR^{41}R^{42})_q$ wherein q is an integer selected from 1 through 2, $(CH(R^{41}))_g-W^0-(CH(R^{42}))_p$ wherein g and p are integers independently selected from 0 through 3 and W^0 is selected from the group consisting of O, S, and $N(R^{41})$, and $(CH(R^{41}))_e-W^{22}-(CH(R^{42}))_h$ wherein e and h are integers independently

selected from 0 through 1 and W^{22} is selected from the group consisting of
 $CR^{41}=CR^{42}$, 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-
cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-
morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-
5 piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl,
1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-
piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-
pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-
tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, with the
10 proviso that Z^0 is directly bonded to the pyrazinone ring;

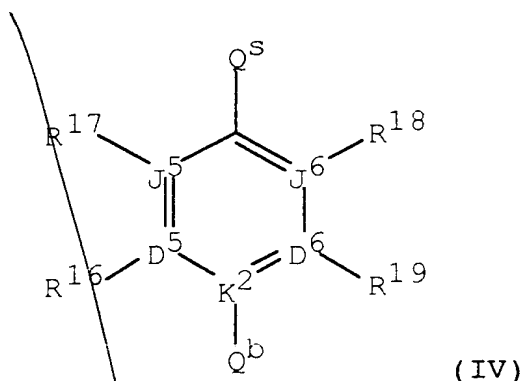
R^{41} and R^{42} are independently selected from the group consisting of
hydrido, hydroxy, and amino;

Q is selected from the group consisting of hydrido, with the proviso
that Z^0 is other than a covalent single bond, aryl, and heteroaryl, wherein a
15 carbon adjacent to the carbon at the point of attachment is optionally substituted
by R^9 , the other carbon adjacent to the carbon at the point of attachment is
optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the
carbon at the point of attachment is optionally substituted by R^{10} , a carbon
adjacent to R^{13} and two atoms from the carbon at the point of attachment is
20 optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is
optionally substituted by R^{11} ;

K is CHR^{4a} wherein R^{4a} is selected from the group consisting of
hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

E^0 is selected from the group consisting of a covalent single bond,
25 $C(O)N(H)$, $(H)NC(O)$, $(R^7)NS(O)_2$, and $S(O)_2N(R^7)$;

Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one can be a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 can be O, no more than one of D^5 , D^6 , J^5 , and J^6 can be S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 can be N, with the provisos that R^{16} , R^{17} , R^{18} , and R^{19} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy, amino, alkylamino, or

dialkylamino at the same time and that no more than one of R^{23} and R^{24} is hydroxy, amino, alkylamino, or dialkylamino at the same time;
 R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino;

5 Q^3 is selected from the group consisting of a single covalent bond, $(CR^{37}R^{38})_b$ wherein b is an integer selected from 1 through 4, and $(CH(R^{14}))_c-W^1-(CH(R^{15}))_d$ wherein c and d are integers independently selected from 1 through 3 and W^1 is selected from the group consisting of $C(O)N(R^{14})$, $(R^{14})NC(O)$, $S(O)$, $S(O)_2$, $S(O)_2N(R^{14})$, $N(R^{14})S(O)_2$, and
 10 $N(R^{14})$, with the provisos that R^{14} is selected from other than halo when directly bonded to N and that $(CR^{37}R^{38})_b$ and $(CH(R^{14}))_c$ are bonded to E^0 ;

R^{14} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R^{37} and R^{38} are independently selected from the group consisting of
 15 hydrido, alkyl, and haloalkyl;

R^{38} is optionally selected from the group consisting of aroyl and heteroaroyl;

Y^0 is optionally Q^b-Q^{ss} wherein Q^{ss} is $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$,
 wherein e and h are integers independently selected from 1 through 2 and W^2
 20 is $CR^{4a}=CH$ with the proviso that $(CH(R^{14}))_e$ is bonded to E^0 .

3. The compound as recited in Claim 2 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl,
 25 wherein each member of group B is optionally substituted at any carbon up to

and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the

group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino,
 5 alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

A is $(CH(R^{15}))_{pa}W^7$ wherein pa is an integer selected from 1 through
 10 3 and W^7 is selected from the group consisting of O, S, and $N(R^7)$ wherein R^7 is selected from the group consisting of hydrido and alkyl;

R^{15} is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl with the proviso that R^{15} is other than hydroxy and halo when R^{15} is on the carbon bonded directly to W^7 ;

15 R^1 and X^0 are independently selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

R^1 and R^2 are optionally selected to be $-W=X-Y=Z-$ wherein $-W=X-$
 20 $Y=Z-$ forms a ring selected from the group consisting of a heteroaryl ring having from 5 through 6 contiguous members and an aryl;

W, X, Y, and Z are independently selected from the group consisting of $C(R^9)$, $C(R^{10})$, $C(R^{11})$, $C(R^{12})$, N, $N(R^{10})$, O, S and a covalent bond with the provisos that one of W, X, Y, and Z is independently selected to be a
 25 covalent bond when one of W, X, Y, and Z is selected from the group consisting of N, $N(R^{10})$, O, and S, no more than one of W, X, Y, and Z is optionally selected from the group consisting of O and S, and no more than

three of W, X, Y, and Z are optionally selected from the group consisting of N and N(R¹⁰);

R¹ and R² spacer pairs are independently optionally selected to be taken together to form a spacer pair wherein the spacer pair forms a linear moiety having from 3 through 6 contiguous atoms connecting the points of bonding of said spacer pair members to form a ring selected from the group consisting of a cycloalkenyl ring having from 5 through 8 contiguous members and a partially saturated heterocyclyl ring having from 5 through 8 contiguous members, wherein said spacer pair is optionally substituted with one or more of the group consisting of R⁹, R¹⁰, R¹¹, R¹², and R¹³;

R² is Z⁰-Q;

Z⁰ is selected from the group consisting of covalent single bond and (CR⁴¹R⁴²)_q wherein q is an integer selected from 1 through 2;

R⁴¹ and R⁴² are independently selected from the group consisting of hydrido, hydroxy, and amino;

Q is selected from the group consisting of aryl and heteroaryl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R¹³, a carbon adjacent to R⁹ and two atoms from the carbon at the point of attachment is optionally substituted by R¹⁰, a carbon adjacent to R¹³ and two atoms from the carbon at the point of attachment is optionally substituted by R¹², and any carbon adjacent to both R¹⁰ and R¹² is optionally substituted by R¹¹;

R⁹, R¹⁰, R¹¹, R¹², and R¹³ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl,

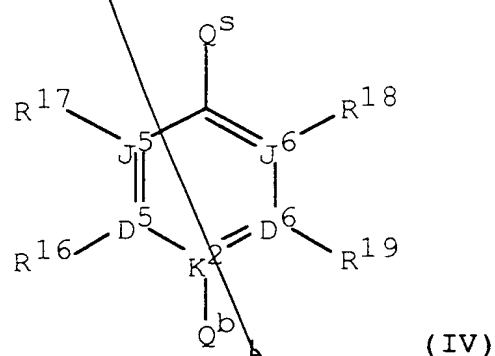
alkylsulfamido, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;

K is CHR^{4a} wherein R^{4a} is selected from the group consisting of

5 hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

E^0 is selected from the group consisting of a covalent single bond, $\text{C}(\text{O})\text{N}(\text{H})$, $(\text{H})\text{NC}(\text{O})$, $(\text{R}^7)\text{NS}(\text{O})_2$, and $\text{S}(\text{O})_2\text{N}(\text{R}^7)$;

Y^0 is formula (IV):



10 wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no
15 more than four of D^5 , D^6 , J^5 , and J^6 are N;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group

consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy,

20 hydroxyalkyl, alkylamino, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the

5 provisos that no more than one of R^{20} and R^{21} is hydroxy, amino, alkylamino, or dialkylamino at the same time and that no more than one of R^{23} and R^{24} is hydroxy, amino, alkylamino, or dialkylamino at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino;

10 Q^s is selected from the group consisting of a single covalent bond,

$(CR^{37}R^{38})_b$ wherein b is an integer selected from 1 through 3, and

$(CH(R^{14}))_c-W^1-(CH(R^{15}))_d$ wherein c and d are integers independently

selected from 1 through 2 and W^1 is selected from the group consisting of

$C(O)N(R^{14})$, $(R^{14})NC(O)$, $S(O)$, $S(O)_2$, $S(O)_2N(R^{14})$, $N(R^{14})S(O)_2$, and

15 $N(R^{14})$, with the provisos that R^{14} is selected from other than halo when

directly bonded to N and that $(CR^{37}R^{38})_b$ and $(CH(R^{14}))_c$ are bonded to E^0 ;

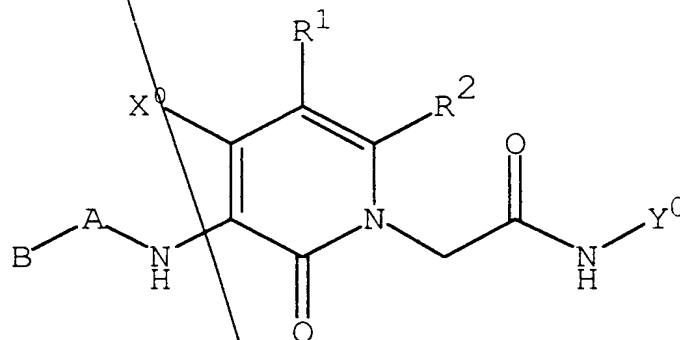
R^{14} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R^{37} and R^{38} are independently selected from the group consisting of
20 hydrido, alkyl, and haloalkyl;

R^{38} is optionally selected from the group consisting of aroyl and heteroaroyl;

Y^0 is optionally Q^b-Q^{ss} wherein Q^{ss} is $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$,
 wherein e and h are integers independently selected from 1 through 2 and W^2
 is $CR^{4a}=CH$ with the proviso that $(CH(R^{14}))_e$ is bonded to E^0 .

5 4. The compound as recited in Claim 3 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, trialkylsilyl, C2-C4
 alkyl, C3-C5 alkylenyl, C3-C4 alkenyl, C3-C4 alkynyl, and C2-C4 haloalkyl,
 10 wherein each member of group B is optionally substituted at any carbon up to
 and including 3 atoms from the point of attachment of B to A with one or more
 of the group consisting of R^{32} , R^{33} , and R^{34} ;

R^{32} , R^{33} , and R^{34} are independently selected from the group
 consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy,
 15 hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl,
 monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl,
 haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, and cyano;

A is $(CH(R^{15}))_{pa}-N(R^7)$ wherein pa is an integer selected from 1
 through 2 and R^7 is selected from the group consisting of hydrido and alkyl;

20 R^{15} is selected from the group consisting of hydrido, halo, alkyl, and
 haloalkyl;

R^1 and X^0 are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

5 R^1 and R^2 are optionally selected to be $-W=X-Y=Z-$ wherein $-W=X-Y=Z-$ forms a ring selected from the group consisting of a heteroaryl ring having from 5 through 6 contiguous members and an aryl;

W, X, Y, and Z are independently selected from the group consisting of $C(R^9)$, $C(R^{10})$, $C(R^{11})$, $C(R^{12})$, N, $N(R^{10})$, O, S and a covalent bond
 10 with the provisos that one of W, X, Y, and Z is independently selected to be a covalent bond when one of W, X, Y, and Z is selected from the group consisting of N, $N(R^{10})$, O, and S, no more than one of W, X, Y, and Z is optionally selected from the group consisting of O and S, and no more than three of W, X, Y, and Z are optionally selected from the group consisting of N
 15 and $N(R^{10})$;

R^1 and R^2 spacer pairs are independently optionally selected to be taken together to form a spacer pair wherein the spacer pair forms a linear moiety having from 3 through 6 contiguous atoms connecting the points of bonding of said spacer pair members to form a ring selected from the group
 20 consisting of a cycloalkenyl ring having from 5 through 8 contiguous members and a partially saturated heterocyclyl ring having from 5 through 8 contiguous members, wherein said spacer pair is optionally substituted with one or more of the group consisting of R^9 , R^{10} , R^{11} , R^{12} , and R^{13} ;

R^2 is Z^0-Q ;

25 Z^0 is selected from the group consisting of covalent single bond and CH_2 ;

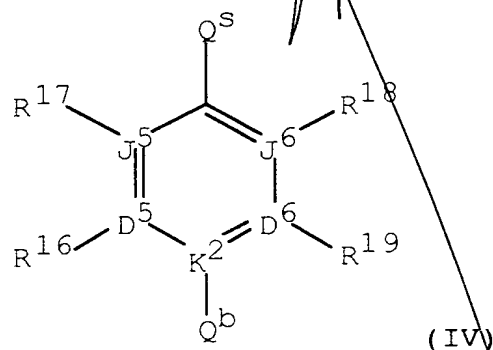
Q is selected from the group consisting of aryl and heteroaryl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of

attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6

must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy at the same time and that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

Q^s is selected from the group consisting of a single covalent bond, CH_2 , and CH_2CH_2 .

5. The compound as recited in Claim 4 having the Formula or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, trimethylene, tetramethylene, butyl, 2-butenyl, 3-butenyl, 2-butylnyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 2,2,2-trifluoroethyl, 3,3,3-trifluoropropyl, and 2,2-difluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 3 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , and R^{34} ;

R^{32} , R^{33} , and R^{34} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamididosulfonyl, N,N-dimethylamididosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

A is selected from the group consisting of single covalent bond, NH, and N(CH₃);

R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

R^2 is Z^0 -Q;

Z^0 is selected from the group consisting of a covalent single bond and CH₂;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at

the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

5 R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-
10 pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidossulfonyl, N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

15 R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino,
20 methanesulfonamido, amidosulfonyl, N-methylamidossulfonyl, N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

25 Y^0 is selected from the group consisting of:

$1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$ benzene,

$2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-2-R^{19}$ pyridine,

$3-Q^b-6-Q^s-2-R^{16}-5-R^{18}-4-R^{19}$ pyridine, $2-Q^b-4-Q^s-3-R^{16}-6-R^{18}$ pyrazine,

$3-Q^b-6-Q^s-2-R^{18}-5-R^{18}-4-R^{19}$ pyridazine,
 $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}$ pyrimidine, $5-Q^b-2-Q^s-3-R^{16}-6-R^{19}$ pyrimidine,
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ thiophene, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ thiophene,
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ furan, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ furan,
5 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ pyrrole, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ pyrrole,
 $4-Q^b-2-Q^s-5-R^{19}$ imidazole, $2-Q^b-4-Q^s-5-R^{17}$ imidazole,
 $3-Q^b-5-Q^s-4-R^{16}$ isoxazole, $5-Q^b-3-Q^s-4-R^{16}$ isoxazole,
 $2-Q^b-5-Q^s-4-R^{16}$ pyrazole, $4-Q^b-2-Q^s-5-R^{19}$ thiazole, and
 $2-Q^b-5-Q^s-4-R^{17}$ thiazole;

10 R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group
 consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino,
 guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino,
 aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino,
 N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio,
 15 methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl,
 pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl,
 trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo,
 amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl,
 hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-
 20 hydroxyethyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one
 of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein
 Q^{be} is hydrido, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with

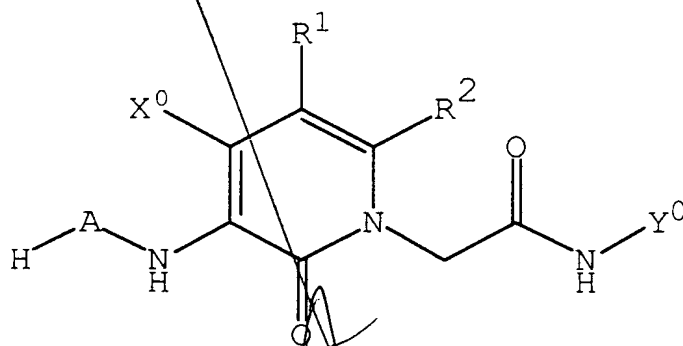
25 the provisos that no more than one of R^{20} , R^{21} , R^{23} , and R^{24} can be

hydroxy, when any two of the group consisting of R^{20} , R^{21} , R^{23} , and R^{24} are bonded to the same atom and that said Q^b group is bonded directly to a carbon atom;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

Q^s is selected from the group consisting of a single covalent bond, CH_2 , and CH_2CH_2 .

6. The compound as recited in Claim 4 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

A is selected from the group consisting of $CH_2N(CH_3)$, $CH_2N(CH_2CH_3)$, $CH_2CH_2N(CH_3)$, and $CH_2CH_2N(CH_2CH_3)$;

R^1 and X^0 are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of covalent single bond and CH_2 ;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidulosulfonyl, N,N-dimethylamidulosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino,

methanesulfonamido, amidosulfonyl, N-methylamidossulfonyl, N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

Y^0 is selected from the group consisting of:

- 1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,
- 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine,
- 3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine, 2-Q^b-4-Q^s-3-R¹⁶-6-R¹⁸ pyrazine,
- 10 3-Q^b-6-Q^s-2-R¹⁸-5-R¹⁸-4-R¹⁹ pyridazine,
- 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸ pyrimidine, 5-Q^b-2-Q^s-3-R¹⁶-6-R¹⁹ pyrimidine,
- 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene,
- 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ furan, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ furan,
- 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ pyrrole, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ pyrrole,
- 15 4-Q^b-2-Q^s-5-R¹⁹ imidazole, 2-Q^b-4-Q^s-5-R¹⁷ imidazole,
- 3-Q^b-5-Q^s-4-R¹⁶ isoxazole, 5-Q^b-3-Q^s-4-R¹⁶ isoxazole,
- 2-Q^b-5-Q^s-4-R¹⁶ pyrazole, 4-Q^b-2-Q^s-5-R¹⁹ thiazole, and
- 2-Q^b-5-Q^s-4-R¹⁷ thiazole;

- R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group
- 20 consisting of hydrido, methyl, ethyl, isopropyl, propyl, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl,
 - 25 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-

methanamidomethyl, N,N-dimethanamidomethyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

Q^b is selected from the group consisting of $NR^{20}R^{21}$,

$C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the provisos

- 5 that no more than one of R^{20} , R^{21} , R^{23} , and R^{24} can be hydroxy, when any two of the group consisting of R^{20} , R^{21} , R^{23} , and R^{24} are bonded to the same atom and that said Q^b group is bonded directly to a carbon atom;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from

- 10 the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

Q^s is selected from the group consisting of a single covalent bond,

CH_2 , and CH_2CH_2 .

- 15 7. The compound as recited in Claim 6 or a pharmaceutically acceptable salt thereof, wherein;

A is selected from the group consisting of $CH_2N(CH_3)$,

$CH_2N(CH_2CH_3)$, $CH_2CH_2N(CH_3)$, and $CH_2CH_2N(CH_2CH_3)$;

- 20 R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

R^2 is Z^O-Q ;

Z^O is selected from the group consisting of covalent single bond and

CH_2 ;

- 25 Q is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl,

- 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxy-5-aminophenyl, 3-chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

Y^0 is selected from the group consisting of:

- 1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,
 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine,
 3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine,
 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, and 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene;

R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

- R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$;

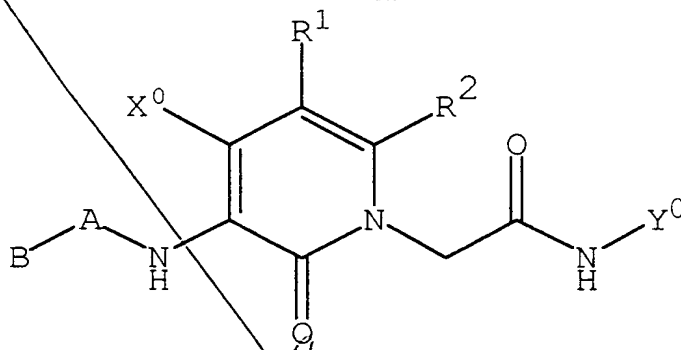
- R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl;

Q^s is CH_2 .

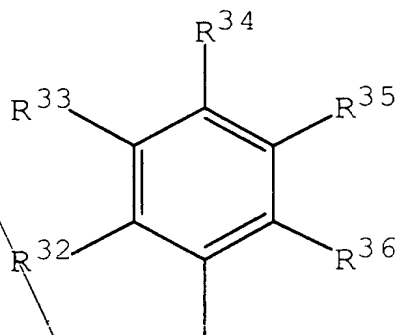
8. A compound as recited in Claim 7 or a pharmaceutically acceptable salt thereof where said compound is selected from the group consisting of:

- 5 2-[1-[6-[3-aminophenyl]-4-chloro-N-[[4-iminomethylphenyl]methyl]-3-[N,N-dimethylhydrazino]-2-oxo-1(2H)-pyridinyl]]acetamide;
- 2-[1-[6-[3-aminophenyl]-4-fluoro-3-[N-ethyl-N-methylhydrazino]-N-[[4-iminomethylphenyl]methyl]-2-oxo-1(4H)-pyridinyl]]acetamide;
- 10 2-[1-[6-[3-aminophenyl]-3-[N,N-diethylhydrazino]-N-[[4-iminomethylphenyl]methyl]-2-oxo-1(4H)-pyridinyl]]acetamide;
- 2-[1-[6-[3-aminophenyl]-3-[N-(azetidin-1-yl)amino]-4-fluoro-N-[[4-iminomethylphenyl]methyl]-2-oxo-1(4H)-pyridinyl]]acetamide;
- 2-[1-[6-[3-aminophenyl]-N-[[4-iminomethylphenyl]methyl]-3-[N,N-dimethylhydrazino]-2-oxo-1(2H)-pyridinyl]]acetamide;
- 15 2-[1-[6-[3-aminophenyl]-4-fluoro-N-[[4-iminomethylphenyl]methyl]-3-[N,N-dimethylhydrazino]-2-oxo-1(2H)-pyridinyl]]acetamide;

9. The compound as recited in Claim 2 having the Formula:



- 20 or a pharmaceutically acceptable salt thereof, wherein;
 B is the Formula:



R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the

group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is

an integer selected from 0 through 3, and W^7 is selected from the group consisting of $(R^7)NC(O)$ and $N(R^7)$;

R^7 is selected from the group consisting of hydrido, hydroxy and alkyl;

R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of a covalent single bond, O, S, NH, and CH_2 ;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

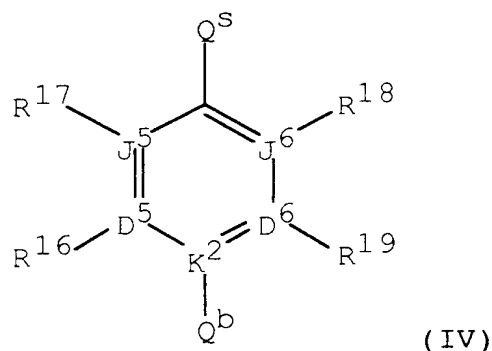
R^9 , R^{11} , and R^{13} are independently selected from the group

consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of

hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, and $C(NR^{25})NR^{23}R^{24}$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy at the same time and that no more than one of R^{23} and R^{24} is hydroxy at the same time;

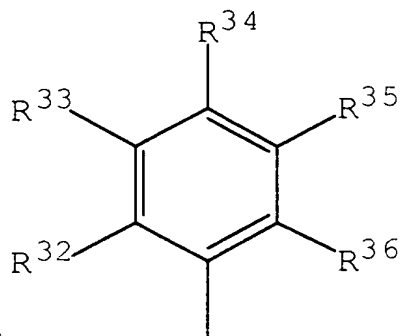
R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

Q^s is selected from the group consisting of a single covalent bond,

CH_2 , and CH_2CH_2 .

10. The compound as recited in Claim 9 or a pharmaceutically acceptable salt thereof, wherein;

25 B is the Formula:



R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the

group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamid sulfonyl, N,N-dimethylamid sulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q^b ;

A is selected from the group consisting of single covalent bond, NH, $N(CH_3)$, $N(OH)$, CH_2 , CH_3CH , CF_3CH , $NHC(O)$, $N(CH_3)C(O)$, $C(O)NH$, $C(O)N(CH_3)$, CH_2CH_2 , $CH_2CH_2CH_2$, CH_3CHCH_2 , and CF_3CHCH_2 ;

R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of a covalent single bond, O, S, NH, and CH_2 ;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino,

- methanesulfonamido, amidosulfonyl, N-methylamidossulfonyl, N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

Y^0 is selected from the group consisting of:

- 1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,
 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine,
 3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine, 2-Q^b-4-Q^s-3-R¹⁶-6-R¹⁸ pyrazine, 3-Q^b-6-Q^s-2-R¹⁸-5-R¹⁸-4-R¹⁹ pyridazine,
 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸ pyrimidine, 5-Q^b-2-Q^s-3-R¹⁶-6-R¹⁹ pyrimidine,
 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene,
 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ furan, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ furan,
 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ pyrrole, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ pyrrole,
 4-Q^b-2-Q^s-5-R¹⁹ imidazole, 2-Q^b-4-Q^s-5-R¹⁷ imidazole,
 3-Q^b-5-Q^s-4-R¹⁶ isoxazole, 5-Q^b-3-Q^s-4-R¹⁶ isoxazole,
 2-Q^b-5-Q^s-4-R¹⁶ pyrazole, 4-Q^b-2-Q^s-5-R¹⁹ thiazole, and
 2-Q^b-5-Q^s-4-R¹⁷ thiazole;

- R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo,

amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of
 5 R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$, with the proviso that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of
 10 hydrido, methyl, ethyl, and hydroxy;

Q^s is selected from the group consisting of a single covalent bond, CH_2 and CH_2CH_2 .

11. The compound as recited in Claim 10 or a pharmaceutically acceptable salt
 15 thereof, wherein;

B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl,
 20 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, and 3-trifluoromethylphenyl;

A is selected from the group consisting of CH_2 , CH_3CH , CF_3CH , $NHC(O)$, CH_2CH_2 , and $CH_2CH_2CH_2$;

25 R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of a covalent single bond, O, S, NH, and CH_2 ;

Q is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

Y^0 is selected from the group consisting of:

1- Q^b -4- Q^s -2- R^{16} -3- R^{17} -5- R^{18} -6- R^{19} benzene,
 2- Q^b -5- Q^s -6- R^{17} -4- R^{18} -2- R^{19} pyridine,
 3- Q^b -6- Q^s -2- R^{16} -5- R^{18} -4- R^{19} pyridine,
 3- Q^b -5- Q^s -4- R^{16} -2- R^{19} thiophene, and 2- Q^b -5- Q^s -3- R^{16} -4- R^{17} thiophene;

R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

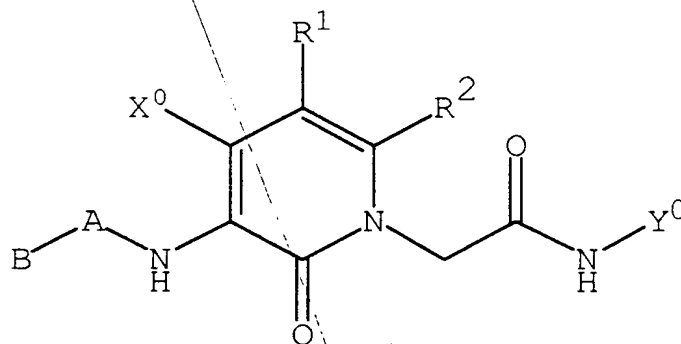
R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$;

R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl;

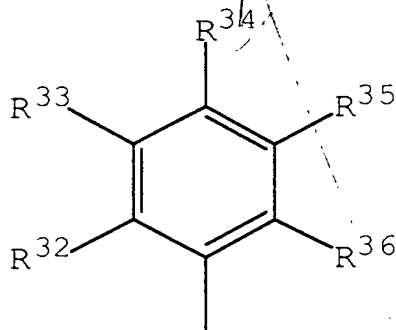
5 Q^s is CH_2 .

12. The compound as recited in Claim 9 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

10 B is the Formula:



R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the

group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio,

15 amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

A is selected from the group consisting of single covalent bond and $(\text{CH}(\text{R}^{15}))_{\text{pa}}-(\text{W}^7)_{\text{rr}}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is $\text{N}(\text{R}^7)$;

R^7 is selected from the group consisting of hydrido and alkyl;

5 R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R^1 and X^0 are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

R^2 is $\text{Z}^0\text{-Q}$;

Z^0 is a covalent single bond;

10 Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is

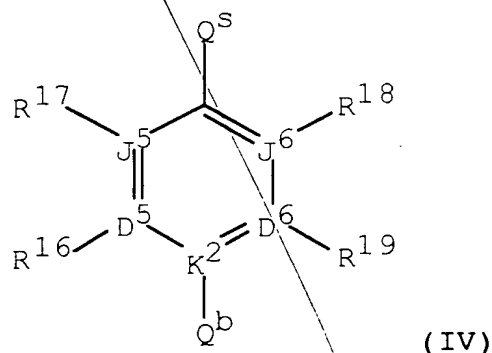
15 optionally substituted by R^{11} ;

20 R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkylamid sulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl,

25 carboxy, carboxamido, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxyamido, carboxyalkyl, and cyano;

Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

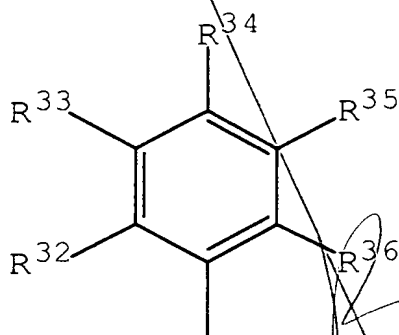
Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, and $C(NR^{25})NR^{23}R^{24}$;

R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and alkyl;

5 Q^s is CH_2 .

13. The compound as recited in Claim 12 or a pharmaceutically acceptable salt thereof, wherein;

B is the Formula:



10

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, 15 chloro, bromo, amidosulfonyl, N-methylamidulosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and Q^b ;

A is selected from the group consisting of single covalent bond, NH, $N(CH_3)$, CH_2 , CH_3CH , and CH_2CH_2 ;

20 X^O is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

R^1 is selected from the group consisting of hydrido, hydroxy, amino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

5 R^2 is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

15 R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

20 R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

Y^0 is selected from the group consisting of:

1- Q^b -4- Q^s -2- R^{16} -3- R^{17} -5- R^{18} -6- R^{19} benzene,

2- Q^b -5- Q^s -6- R^{17} -4- R^{18} -2- R^{19} pyridine, 2- Q^b -5- Q^s -3- R^{16} -4- R^{17} thiophene,

3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine, 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene,
 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ furan, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ furan,
 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ pyrrole, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ pyrrole,
 4-Q^b-2-Q^s-5-R¹⁹ thiazole, and 2-Q^b-5-Q^s-4-R¹⁷ thiazole;

- 5 R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 10 trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, carboxy, and cyano.

Q^b is selected from the group consisting of NR²⁰R²¹ and

C(NR²⁵)NR²³R²⁴, with the proviso that said Q^b group is bonded directly to a carbon atom;

- 15 R²⁰, R²¹, R²³, R²⁴, and R²⁵ are independently selected from the group consisting of hydrido, methyl, and ethyl;

Q^s is CH₂.

- 20 14. The compound as recited in Claim 13 or a pharmaceutically acceptable salt thereof, wherein;

- B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 25 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, and phenyl;

A is selected from the group consisting of CH₂, CH₃CH, CF₃CH,

NHC(O), CH₂CH₂, and CH₂CH₂CH₂;

X^0 is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

5 R^1 is selected from the group consisting of hydrido, hydroxy, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

R^2 is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, 10 benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-15 methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-20 thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

Y^0 is selected from the group consisting of:

1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,
 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine,
 3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine,
 25 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, and 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene;

R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

5 Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$;

R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl;

Q^s is CH_2 .

10

15. The compound as recited in Claim 14 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-
15 dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, and phenyl;

A is selected from the group consisting of CH_2 , $NHC(O)$, CH_2CH_2 , and $CH_2CH_2CH_2$;

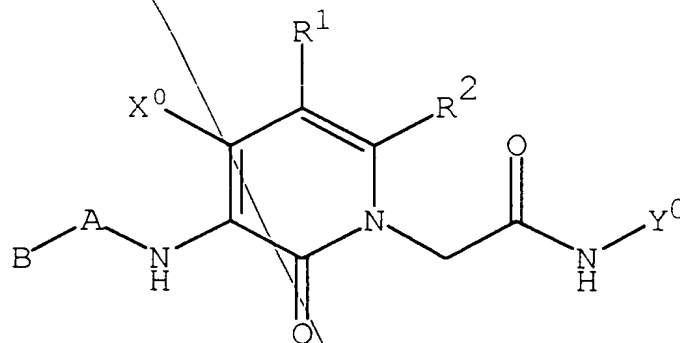
20 X^O is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

R^1 is selected from the group consisting of hydrido, hydroxy, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

25 R^2 is selected from the group consisting of 3-aminophenyl, benzyl, 3-chlorophenyl, 3-dimethylaminophenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl;

Y^0 is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

16. A compound as recited in Claim 9 where said compound is selected from the group having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

R^2 is 3-aminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydrido;

- 10 R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydrido;

R^2 is phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydrido;

- 15 R^2 is 3-dimethylaminophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydrido;

R^2 is 2-methylphenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydrido;

R^2 is phenyl, B is 3-aminophenyl, A is $C(O)NH$, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydrido;

- 20 R^2 is phenyl, B is 3-amidinophenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydrido;

R^2 is 3-(N-methylamino)phenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-methylsulfonamidophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

5 R^2 is phenyl, B is 4-amidinophenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-methylaminophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

10 R^2 is phenyl, B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-methylphenyl, B is 4-phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

15 R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydroxy, and X^O is fluoro;

20 R^2 is 3-dimethylaminophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydroxy, and X^O is fluoro;

R^2 is 2-methylphenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydroxy, and X^O is fluoro;

R^2 is phenyl, B is 3-aminophenyl, A is $C(O)NH$, Y^0 is 4-amidinobenzyl, R^1 is hydroxy, and X^O is fluoro;

R^2 is phenyl, B is 3-amidinophenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydroxy, and X^O is fluoro;

R^2 is 3-(N-methylamino)phenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydroxy, and X^O is fluoro;

5 R^2 is 3-methylsulfonamidophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydroxy, and X^O is fluoro;

R^2 is phenyl, B is 4-amidinophenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydroxy, and X^O is fluoro;

R^2 is 3-methylaminophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydroxy, and X^O is fluoro;

10 R^2 is phenyl, B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydroxy, and X^O is fluoro;

R^2 is 3-methylphenyl, B is 4-phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydroxy, and X^O is fluoro;

15 R^2 is 3-aminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is amino, and X^O is hydrido;

R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is amino, and X^O is hydrido;

20 R^2 is phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is amino, and X^O is hydrido;

R^2 is 3-dimethylaminophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is amino, and X^O is hydrido;

R^2 is 2-methylphenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is amino, and X^O is hydrido;

R^2 is phenyl, B is 3-aminophenyl, A is $C(O)NH$, Y^0 is 4-amidinobenzyl, R^1 is amino, and X^O is hydrido;

R^2 is phenyl, B is 3-amidinophenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is amino, and X^O is hydrido;

5 R^2 is 3-(N-methylamino)phenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is amino, and X^O is hydrido;

R^2 is 3-methylsulfonamidophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is amino, and X^O is hydrido;

10 R^2 is phenyl, B is 4-amidinophenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is amino, and X^O is hydrido;

R^2 is 3-methylaminophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is amino, and X^O is hydrido;

R^2 is phenyl, B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is amino, and X^O is hydrido;

15 R^2 is 3-methylphenyl, B is 4-phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is amino, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

20 R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is 3-dimethylaminophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

~~R² is 2-methylphenyl, B is phenyl, A is CH₂CH₂, Y⁰ is 4-amidinobenzyl,
R¹ is aminomethyl, and X⁰ is hydrido;~~

~~R² is phenyl, B is 3-aminophenyl, A is C(O)NH, Y⁰ is 4-amidinobenzyl,
R¹ is aminomethyl, and X⁰ is hydrido;~~

5 ~~R² is phenyl, B is 3-amidinophenyl, A is CH₂, Y⁰ is 4-amidinobenzyl, R¹
is aminomethyl, and X⁰ is hydrido;~~

~~R² is 3-(N-methylamino)phenyl, B is phenyl, A is CH₂CH₂, Y⁰ is 4-
amidinobenzyl, R¹ is aminomethyl, and X⁰ is hydrido;~~

~~R² is 3-methylsulfonamidophenyl, B is phenyl, A is CH₂CH₂, Y⁰ is 4-
10 amidinobenzyl, R¹ is aminomethyl, and X⁰ is hydrido;~~

~~R² is phenyl, B is 4-amidinophenyl, A is CH₂, Y⁰ is 4-amidinobenzyl, R¹
is aminomethyl, and X⁰ is hydrido;~~

~~R² is 3-methylaminophenyl, B is phenyl, A is CH₂CH₂, Y⁰ is 4-
amidinobenzyl, R¹ is aminomethyl, and X⁰ is hydrido;~~

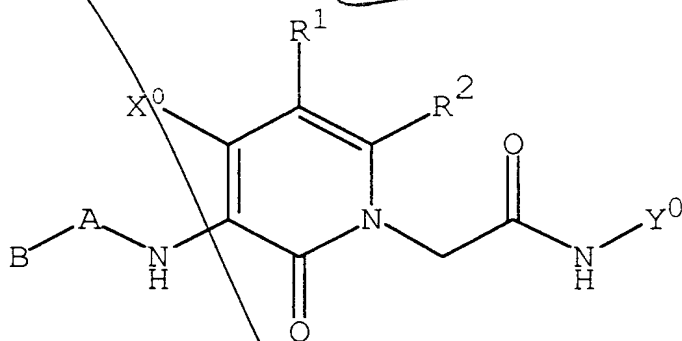
15 ~~R² is phenyl, B is phenyl, A is CH₂, Y⁰ is 4-amidinobenzyl, R¹ is
aminomethyl, and X⁰ is hydrido;~~

~~R² is 3-methylphenyl, B is 4-phenyl, A is CH₂CH₂, Y⁰ is 4-
amidinobenzyl, R¹ is aminomethyl, and X⁰ is hydrido.~~

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5 17. The compound as recited in Claim 2 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

10 B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

15 R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

20 A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is selected from the group consisting of $(R^7)NC(O)$ and $N(R^7)$;

R^7 is selected from the group consisting of hydrido, hydroxy and alkyl;

R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R^1 and X^0 are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

R^2 is Z^0-Q ;

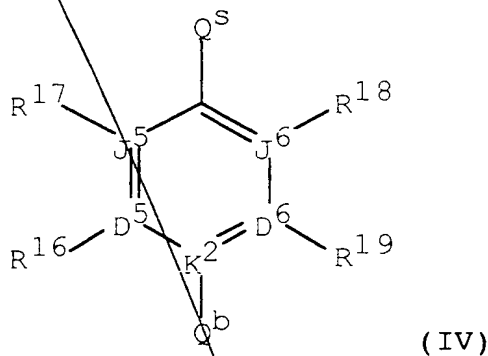
Z^0 is selected from the group consisting of a covalent single bond, O, S, NH, and CH_2 ;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy at the same time and that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

Q^s is selected from the group consisting of a single covalent bond, CH_2 , and CH_2CH_2 .

5

18. The compound as recited in Claim 17 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propynyl, 2-propenyl, propyl, isopropyl, butyl, 2-butenyl, 3-butenyl, 2-butylnyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 2-pentylnyl, 3-pentylnyl, 2-pentyl, 1-methyl-2-butenyl, 1-methyl-3-butenyl, 1-methyl-2-butylnyl, 3-pentyl, 1-ethyl-2-propenyl, 2-methylbutyl, 2-methyl-2-butenyl, 2-methyl-3-butenyl, 2-methyl-3-butylnyl, 3-methylbutyl, 3-methyl-2-butenyl, 3-methyl-3-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-4-pentenyl, 1-methyl-2-pentylnyl, 1-methyl-3-pentylnyl, 3-hexyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 1-propyl-2-propenyl, 1-ethyl-2-butylnyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 6-heptenyl, 2-heptylnyl, 3-heptylnyl, 4-heptylnyl, 5-heptylnyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-5-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-4-pentenyl, 1-butyl-2-propenyl, 1-ethyl-2-pentylnyl, 1-ethyl-3-pentylnyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino,

5 methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q^b ;

Sub A1
10 A is selected from the group consisting of single covalent bond, NH, N(CH₃), N(OH), CH₂, CH₃CH, CF₃CH, NHC(O), N(CH₃)C(O), C(O)NH, C(O)N(CH₃), CH₂CH₂, CH₂CH₂CH₂, CH₃CHCH₂, and CF₃CHCH₂;

15 R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

20 R^2 is Z^O-Q ;
 Z^O is selected from the group consisting of a covalent single bond, O, S, NH, and CH₂;

25 Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at

the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

5 R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidossulfonyl, N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

10 R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidossulfonyl, N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

25 Y^0 is selected from the group consisting of

$1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$ benzene,

$2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-2-R^{19}$ pyridine,

- 3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine, 2-Q^b-4-Q^s-3-R¹⁶-6-R¹⁸ pyrazine, 3-Q^b-6-Q^s-2-R¹⁸-5-R¹⁸-4-R¹⁹ pyridazine,
 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸ pyrimidine, 5-Q^b-2-Q^s-3-R¹⁶-6-R¹⁹ pyrimidine,
 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene,
 5 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ furan, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ furan,
 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ pyrrole, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ pyrrole,
 4-Q^b-2-Q^s-5-R¹⁹ imidazole, 2-Q^b-4-Q^s-5-R¹⁷ imidazole,
 3-Q^b-5-Q^s-4-R¹⁶ isoxazole, 5-Q^b-3-Q^s-4-R¹⁶ isoxazole,
 2-Q^b-5-Q^s-4-R¹⁶ pyrazole, 4-Q^b-2-Q^s-5-R¹⁹ thiazole, and
 10 2-Q^b-5-Q^s-4-R¹⁷ thiazole;
- R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino,
 15 N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl,
 20 hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

R¹⁶ and R¹⁹ are optionally Q^b with the proviso that no more than one of R¹⁶ and R¹⁹ is Q^b at the same time and that Q^b is Q^{be};

Q^b is selected from the group consisting of NR²⁰R²¹, Q^{be}, wherein Q^{be}
 25 is hydrido, C(NR²⁵)NR²³R²⁴, and N(R²⁶)C(NR²⁵)N(R²³)(R²⁴), with the

provisos that no more than one of R^{20} and R^{21} is hydroxy at the same time and that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

5 Q^s is selected from the group consisting of a single covalent bond, CH_2 , and CH_2CH_2 .

19. The compound as recited in Claim 18 or a pharmaceutically acceptable salt thereof, wherein;

10 B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-

15 dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

20 A is selected from the group consisting of single covalent bond, CH_2 , $NHC(O)$, CH_2CH_2 , $CH_2CH_2CH_2$, and CH_3CHCH_2 ;

R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, 25 methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of a covalent single bond, O, S, NH, and CH_2 ;

5 Q is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

Y^0 is selected from the group consisting of:

1- Q^b -4- Q^s -2- R^{16} -3- R^{17} -5- R^{18} -6- R^{19} benzene,
 2- Q^b -5- Q^s -6- R^{17} -4- R^{18} -2- R^{19} pyridine,
 3- Q^b -6- Q^s -2- R^{16} -5- R^{18} -4- R^{19} pyridine,
 20 3- Q^b -5- Q^s -4- R^{16} -2- R^{19} thiophene, and 2- Q^b -5- Q^s -3- R^{16} -4- R^{17} thiophene;

R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

25 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} .

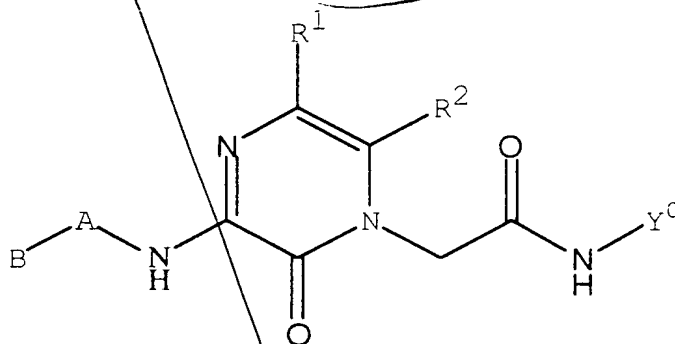
R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$;

R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl;

Q^s is CH_2 .

5 20. The compound as recited in Claim 17 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

10 R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is $N(R^7)$;

R^7 is selected from the group consisting of hydrido and alkyl;

R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

R^2 is Z^O-Q ;

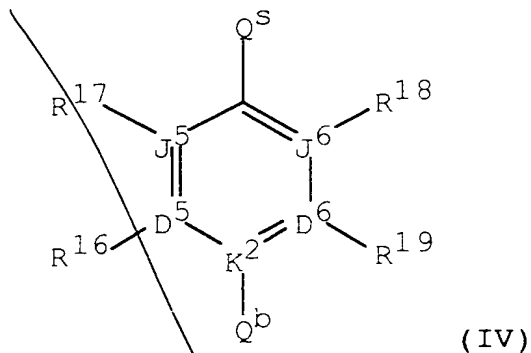
Z^O is a covalent single bond;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkylamid sulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxyamido, carboxyalkyl, and cyano;

Y^O is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $N(R^{26})C(NR^{25})(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido and alkyl;

Q^s is CH_2 .

21. The compound as recited in Claim 17 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butynyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of R³², R³³, R³⁴, R³⁵, and R³⁶;

R³², R³³, R³⁴, R³⁵, and R³⁶ are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and Q^b;

A is selected from the group consisting of single covalent bond, NH, N(CH₃), CH₂, CH₃CH, and CH₂CH₂;

A is optionally selected from the group consisting of CH₂N(CH₃), CH₂N(CH₂CH₃), CH₂CH₂N(CH₃), and CH₂CH₂N(CH₂CH₃) with the proviso that B is hydrido;

X^0 is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

5 R^1 is selected from the group consisting of hydrido, hydroxy, amino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

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10 R^2 is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both

15 R^{10} and R^{12} is optionally substituted by R^{11} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, 20 N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

25 R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

Y^0 is selected from the group consisting of:

1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,

2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene,

3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine, 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene,

3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ furan, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ furan,

5 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ pyrrole, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ pyrrole,

4-Q^b-2-Q^s-5-R¹⁹ thiazole, and 2-Q^b-5-Q^s-4-R¹⁷ thiazole;

R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group

consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, 10 methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, carboxy, and cyano.

Q^b is selected from the group consisting of NR²⁰R²¹,

15 C(NR²⁵)NR²³R²⁴, and N(R²⁶)C(NR²⁵)N(R²³)(R²⁴), with the proviso that said Q^b group is bonded directly to a carbon atom;

R²⁰, R²¹, R²³, R²⁴, R²⁵, and R²⁶ are independently selected from the

group consisting of hydrido, methyl, and ethyl;

Q^s is CH₂.

20 22. The compound as recited in Claim 21 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6- 25 amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-

amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

- 5 A is selected from the group consisting of single covalent bond, CH₂, CH₃CH, and CH₂CH₂;

X⁰ is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

- 10 R¹ is selected from the group consisting of hydrido, hydroxy, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

- R² is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 15 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 20 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2- 25 trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

Y⁰ is selected from the group consisting of:

1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,

2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine,

- 30 3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine,

3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, and 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene;

R¹⁶ and R¹⁹ are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R¹⁶ and R¹⁹ are optionally Q^b with the proviso that no more than one of R¹⁶ and R¹⁹ is Q^b at the same time and that Q^b is Q^{be};

R¹⁷ and R¹⁸ are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and C(NR²⁵)NR²³R²⁴;

R²³, R²⁴, and R²⁵ are independently selected from the group consisting of hydrido and methyl;

Q^s is CH₂.

23. The compound as recited in Claim 22 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

A is selected from the group consisting of single covalent bond, CH₂, CH₃CH, and CH₂CH₂;

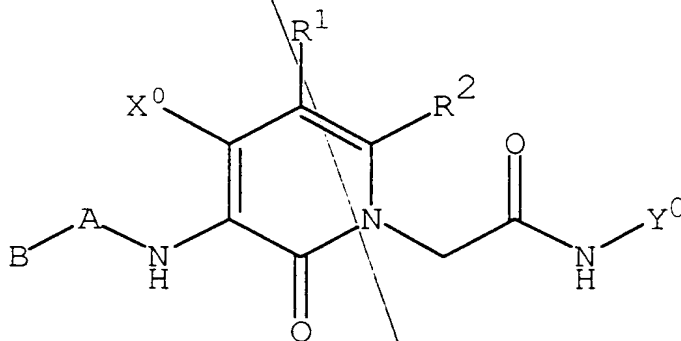
X^0 is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

5 R^1 is selected from the group consisting of hydrido, hydroxy, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

R^2 is selected from the group consisting of 5-amino-2-fluorophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, 3-carboxyphenyl, 3-cyanophenyl, 3-methoxycarbonylphenyl, phenyl, and 3-pyridyl;

10 Y^0 is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

24. A compound as recited in Claim 17 where said compound is selected from the group having the Formula:



15 or a pharmaceutically acceptable salt thereof, wherein:

R^2 is 3-aminophenyl, B is 2,2,2-trifluoroethyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydrido;

20 R^2 is 3-aminophenyl, B is (S)-2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydrido;

R^2 is 5-amino-2-fluorophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydrido;

R^2 is 2-methyl-3-aminophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydrido;

R^2 is 3-aminophenyl, B is ethyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is ethyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is hydrido, and X^O is hydrido;

5 R^2 is 3-aminophenyl, B is 2-propenyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

10 R^2 is 3-aminophenyl, B is 2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is (R)-2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

15 R^2 is 3-aminophenyl, B is 2-propynyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 3-pentyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

20 R^2 is 3-aminophenyl, B is hydrido, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is ethyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 2-methypropyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 2-propyl, A is CH_3CH , Y^0 is 4-amidinobenzyl,
 R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is propyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is hydrido, and X^O is hydrido;

5 R^2 is 3-aminophenyl, B is 6-amidocarbonylhexyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is tert-butyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

10 R^2 is 3-aminophenyl, B is tert-butyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 3-hydroxypropyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 2-methylpropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is hydrido, and X^O is hydrido;

15 R^2 is 3-aminophenyl, B is butyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 3-methoxy-2-propyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

20 R^2 is 3-aminophenyl, B is 3-methoxy-2-propyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 2-methoxy-2-ethyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 2-propyl, A is single bond, Y^0 is 5-amidino-2-thienylmethyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 2-propyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-carboxyphenyl, B is 2-propyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^O is hydrido;

5 R^2 is 3-aminophenyl, B is 2-propyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, R^1 is hydrido, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 2,2,2-trifluoroethyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

10 R^2 is 3-aminophenyl, B is (S)-2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is 5-amino-2-fluorophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is 2-methyl-3-aminophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

15 R^2 is 3-aminophenyl, B is ethyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is 3-aminophenyl, B is ethyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is aminomethyl, and X^O is hydrido;

20 R^2 is 3-aminophenyl, B is 2-propenyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is 3-aminophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is 3-aminophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is 3-aminophenyl, B is (R)-2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

5 R^2 is 3-aminophenyl, B is 2-propynyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 3-pentyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is 3-aminophenyl, B is hydrido, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

10 R^2 is 3-aminophenyl, B is ethyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 2-methylpropyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

15 R^2 is 3-aminophenyl, B is 2-propyl, A is CH_3CH , Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is 3-aminophenyl, B is propyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is aminomethyl, and X^O is hydrido;

20 R^2 is 3-aminophenyl, B is 6-amidocarbonylhexyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is 3-aminophenyl, B is tert-butyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is 3-aminophenyl, B is tert-butyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^O is hydrido;

R^2 is 3-aminophenyl, B is 3-hydroxypropyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

R^2 is 3-aminophenyl, B is 2-methylpropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

5 R^2 is 3-aminophenyl, B is butyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

R^2 is 3-aminophenyl, B is 3-methoxy-2-propyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

10 R^2 is 3-aminophenyl, B is 3-methoxy-2-propyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

R^2 is 3-aminophenyl, B is 2-methoxy-2-ethyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

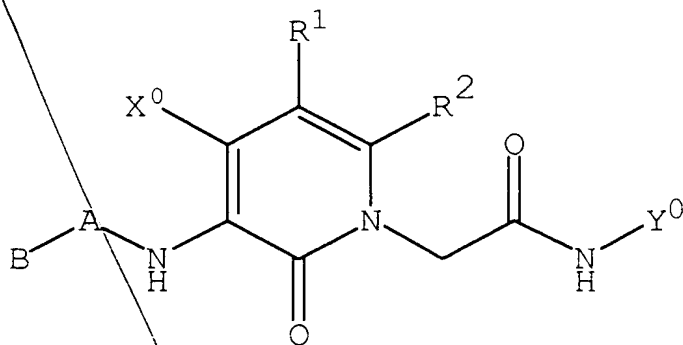
R^2 is 3-aminophenyl, B is 2-propyl, A is single bond, Y^0 is 5-amidino-2-thienylmethyl, R^1 is aminomethyl, and X^0 is hydrido;

15 R^2 is 3-aminophenyl, B is 2-propyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

R^2 is 3-carboxyphenyl, B is 2-propyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

20 R^2 is 3-aminophenyl, B is 2-propyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, R^1 is aminomethyl, and X^0 is hydrido.

25. The compound as recited in Claim 2 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of C3-C7 cycloalkyl and C4 saturated heterocyclyl, wherein each ring carbon is optionally substituted with R^{33} , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbon sand a nitrogen adjacent to the carbon atom at the point of attachment is optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted with R^{10} , a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} , a ring carbon three atoms from the point of attachment and adjacent to the R^{10} position is optionally substituted with R^{11} , a ring carbon three atoms from the point of attachment and adjacent to the R^{12} position is optionally substituted with R^{33} , and a ring carbon atoms from the point of attachment and adjacent to the R^{11} and R^{33} positions is optionally substituted with R^{34} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl,

amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

- 5 R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

- 10 R^{33} and R^{34} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

- 15 A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is selected from the group consisting of $(R^7)NC(O)$ and $N(R^7)$;

R^7 is selected from the group consisting of hydrido, hydroxy and alkyl;

- 20 R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

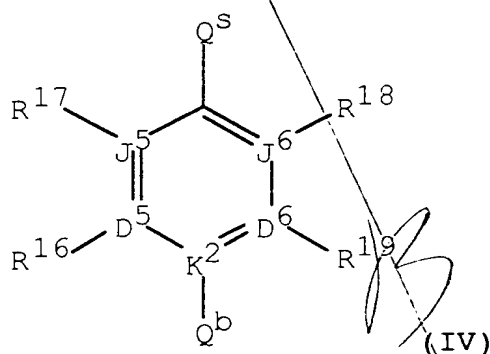
R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

- 25 R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of a covalent single bond, O, S, NH, and CH_2 ;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl,

alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

5 Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, and $C(NR^{25})NR^{23}R^{24}$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy at the same time and that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group
10 consisting of hydrido, alkyl, and hydroxy;

Q^s is selected from the group consisting of a single covalent bond, CH_2 , and CH_2CH_2 .

15 26. The compound as recited in Claim 25 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, thiaetan-3-yl, cyclopentyl, cyclohexyl, norbornyl, bicyclo[3.1.0]hexan-6-yl, and cycloheptyl, wherein each ring carbon is optionally substituted with R^{33} , ring
20 carbons and a nitrogen adjacent to the carbon atom at the point of attachment is optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted with R^{10} , and a ring carbon or nitrogen adjacent to the R^{13}
25 position and two atoms from the point of attachment is optionally substituted with R^{12} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidulosulfonyl, N,N-dimethylamidulosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidulosulfonyl, N,N-dimethylamidulosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

R^{33} and R^{34} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidulosulfonyl, N,N-dimethylamidulosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q^b ;

A is selected from the group consisting of single covalent bond, NH, N(CH₃), N(OH), CH₂, CH₃CH, CF₃CH, NHC(O), N(CH₃)C(O), C(O)NH, C(O)N(CH₃), CH₂CH₂, CH₂CH₂CH₂, CH₃CHCH₂, and CF₃CHCH₂;

- 5 R¹ and X⁰ are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and
- 10 bromo;

R² is Z⁰-Q;

Z⁰ is selected from the group consisting of a covalent single bond, O, S, NH, and CH₂;

- 15 Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent
- 20 to the carbon at the point of attachment is optionally substituted by R⁹, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R¹³, a carbon adjacent to R⁹ and two atoms from the carbon at the point of attachment is optionally substituted by R¹⁰, a carbon adjacent to R¹³ and two atoms from the carbon at the point of attachment is optionally
- 25 substituted by R¹², and any carbon adjacent to both R¹⁰ and R¹² is optionally substituted by R¹¹;

Y⁰ is selected from the group consisting of:

1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,

2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine,

3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine, 2-Q^b-4-Q^s-3-R¹⁶-6-R¹⁸ pyrazine, 3-

Q^b-6-Q^s-2-R¹⁸-5-R¹⁸-4-R¹⁹ pyridazine,

5 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸ pyrimidine, 5-Q^b-2-Q^s-3-R¹⁶-6-R¹⁹ pyrimidine,

3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene,

3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ furan, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ furan,

3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ pyrrole, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ pyrrole,

4-Q^b-2-Q^s-5-R¹⁹ imidazole, 2-Q^b-4-Q^s-5-R¹⁷ imidazole,

10 3-Q^b-5-Q^s-4-R¹⁶ isoxazole, 5-Q^b-3-Q^s-4-R¹⁶ isoxazole,

2-Q^b-5-Q^s-4-R¹⁶ pyrazole, 4-Q^b-2-Q^s-5-R¹⁹ thiazole, and

2-Q^b-5-Q^s-4-R¹⁷ thiazole;

R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group

- consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino,
 15 guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino,
 aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino,
 N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio,
 methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl,
 pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl,
 20 trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo,
 amidosulfonyl, N-methylamidulosulfonyl, N,N-dimethylamidulosulfonyl,
 hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-
 hydroxyethyl, and cyano;

R¹⁶ and R¹⁹ are optionally Q^b with the proviso that no more than one of

- 25 R¹⁶ and R¹⁹ is Q^b at the same time and that Q^b is Q^{be};

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$, with the proviso that no more than one of R^{23} and R^{24} is hydroxy at the same time;

- 5 R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, methyl, ethyl, and hydroxy;

Q^s is selected from the group consisting of a single covalent bond, CH_2 and CH_2CH_2 .

- 10 27. The compound as recited in Claim 26 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and bicyclo[3.1.0]hexan-6-yl;

- 15 A is selected from the group consisting of single covalent bond, CH_2 , $NHC(O)$, CH_2CH_2 , and $CH_2CH_2CH_2$;

R^1 and X^o are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

- 20 R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of a covalent single bond, O, S, NH, and CH_2 ;

- 25 Q is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl,

2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

Y^0 is selected from the group consisting of:

- 10 1- Q^b -4- Q^s -2- R^{16} -3- R^{17} -5- R^{18} -6- R^{19} benzene,
 2- Q^b -5- Q^s -6- R^{17} -4- R^{18} -2- R^{19} pyridine,
 3- Q^b -6- Q^s -2- R^{16} -5- R^{18} -4- R^{19} pyridine,
 3- Q^b -5- Q^s -4- R^{16} -2- R^{19} thiophene, and 2- Q^b -5- Q^s -3- R^{16} -4- R^{17} thiophene;

- 15 R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

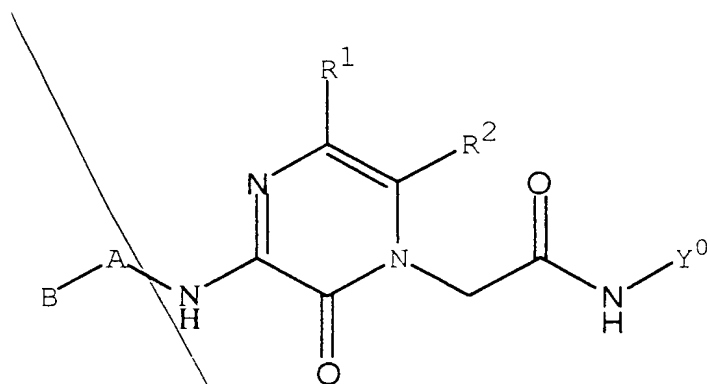
- 20 R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$;

R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl;

- 25 Q^s is CH_2 .

28. The compound as recited in Claim 25 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

- B is selected from the group consisting of C3-C7 cycloalkyl and C4 saturated heterocyclyl, wherein each ring carbon is optionally substituted with R^{33} , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment is optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted with R^{10} , a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} , a ring carbon three atoms from the point of attachment and adjacent to the R^{10} position is optionally substituted with R^{11} , a ring carbon three atoms from the point of attachment and adjacent to the R^{12} position is optionally substituted with R^{33} , and a ring carbon four atoms from the point of attachment and adjacent to the R^{11} and R^{33} positions is optionally substituted with R^{34} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl,

monoalkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

- 5 R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxyamido, and cyano;

- 10 R^{33} and R^{34} are independently selected from the group consisting of hydrido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, and cyano;

R^{33} is optionally Q^b ;

- 15 A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is $N(R^7)$;

R^7 is selected from the group consisting of hydrido and alkyl;

- 20 R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

- 25 R^2 is Z^0-Q ;

Z^0 is a covalent single bond;

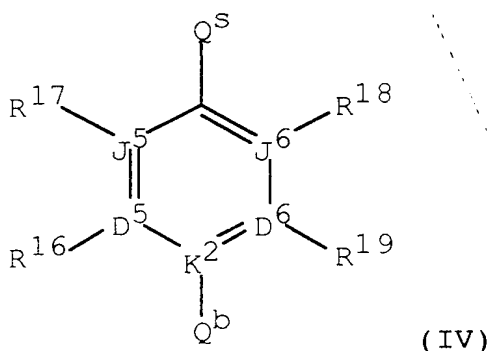
Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is

optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkylamid sulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxyamido, carboxyalkyl, and cyano;

Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6

is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group

- 5 consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of

- 10 R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, and $C(NR^{25})NR^{23}R^{24}$;

R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and alkyl;

- 15 Q^s is CH_2 .

29. The compound as recited in Claim 28 or a pharmaceutically acceptable salt thereof, wherein;

- 20 B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and bicyclo[3.1.0]hexan-6-yl, wherein each ring carbon is optionally substituted with R^{33} , ring carbons and a nitrogen atom adjacent to the carbon atom at the point of attachment is optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted
- 25

with R^{10} , and a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamid sulfonyl, N,N-dimethylamid sulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamid sulfonyl, N,N-dimethylamid sulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

R^{33} are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, carboxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamid sulfonyl, hydroxymethyl, amidocarbonyl, cyano, and Q^b ;

A is selected from the group consisting of single covalent bond, NH, N(CH₃), CH₂, CH₃CH, CH₂CH₂, and CH₂CH₂CH₂;

X^O is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

R^1 is selected from the group consisting of hydrido, hydroxy, amino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

R^2 is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl,

wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

Y^0 is selected from the group consisting of:

1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,
 10 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene,
 3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine, 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene,
 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ furan, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ furan,
 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ pyrrole, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ pyrrole,
 4-Q^b-2-Q^s-5-R¹⁹ thiazole, and 2-Q^b-5-Q^s-4-R¹⁷ thiazole;

15 R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl,
 20 trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidodisulfonyl, hydroxymethyl, carboxy, and cyano.

Q^b is selected from the group consisting of $NR^{20}R^{21}$ and $C(NR^{25})NR^{23}R^{24}$, with the proviso that said Q^b group is bonded directly to a carbon atom;

R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, methyl, and ethyl;

Q^s is CH_2 .

30. The compound as recited in Claim 29 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, and azetidin-3-yl;

A is selected from the group consisting of a single covalent bond, CH_2 , $NHC(O)$, CH_2CH_2 and $CH_2CH_2CH_2$;

X^o is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

R^1 is selected from the group consisting of hydrido, hydroxy, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

R^2 is selected from the group consisting of 3-aminophenyl, 2,6-dichlorophenyl, 2-hydroxyphenyl, 5-amino-2-thienyl, and 3-thienyl;

Y^o is selected from the group consisting of:

1- Q^b -4- Q^s -2- R^{16} -3- R^{17} -5- R^{18} -6- R^{19} benzene,

3- Q^b -5- Q^s -4- R^{16} -2- R^{19} thiophene, and 2- Q^b -5- Q^s -3- R^{16} -4- R^{17} thiophene;

R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido
and $C(NR^{25})NR^{23}R^{24}$;

R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of
hydrido and methyl;

5 Q^s is CH_2 .

31. The compound as recited in Claim 30 or a pharmaceutically acceptable salt
thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl,
10 cyclopentyl, cyclohexyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-
yl, azetidin-2-yl, and azetidin-3-yl;

A is selected from the group consisting of a single covalent bond,
 CH_2 , CH_2CH_2 and $CH_2CH_2CH_2$;

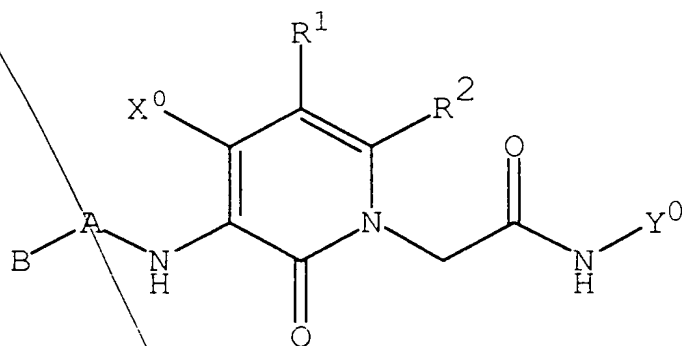
X^o is selected from the group consisting of hydrido, hydroxy, amino,
15 amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and
fluoro;

R^1 is selected from the group consisting of hydrido, hydroxy, amino,
aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

R^2 is selected from the group consisting of 3-aminophenyl, 2,6-
20 dichlorophenyl, 2-hydroxyphenyl, phenyl, 5-amino-2-thienyl, and 3-thienyl;

Y^o is selected from the group consisting of 5-amidino-2-thienylmethyl,
4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

32. A compound as recited in Claim 25 where said compound is selected from
25 the group having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

R^2 is 3-aminophenyl, B is cyclopropyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

5 R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

10 R^2 is 3-aminophenyl, B is cyclopropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

15 R^2 is 3-aminophenyl, B is cyclopentyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

R^2 is 5-amino-2-thienyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

20 R^2 is 3-aminophenyl, B is cyclopropyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

R^2 is 3-aminophenyl, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

R^2 is 3-aminophenyl, B is cyclopentyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

5 R^2 is 3-aminophenyl, B is cyclohexyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

R^2 is 2-hydroxyphenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

10 R^2 is phenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

R^2 is 3-thienyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

R^2 is 2,6-dichlorophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is aminomethyl, and X^0 is hydrido;

15 R^2 is 3-aminophenyl, B is cyclopropyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;

R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;

20 R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;

R^2 is 3-aminophenyl, B is cyclopropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;

R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;

- R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;
- R^2 is 3-aminophenyl, B is cyclopentyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;
- 5 R^2 is 5-amino-2-thienyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;
- R^2 is 3-aminophenyl, B is cyclopropyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;
- R^2 is 3-aminophenyl, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond, 10 Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;
- R^2 is 3-aminophenyl, B is cyclopentyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;
- R^2 is 3-aminophenyl, B is cyclohexyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;
- 15 R^2 is 2-hydroxyphenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;
- R^2 is phenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;
- R^2 is 3-thienyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;
- 20 R^2 is 2,6-dichlorophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydrido, and X^0 is hydroxymethyl;
- R^2 is 3-aminophenyl, B is cyclopropyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido;

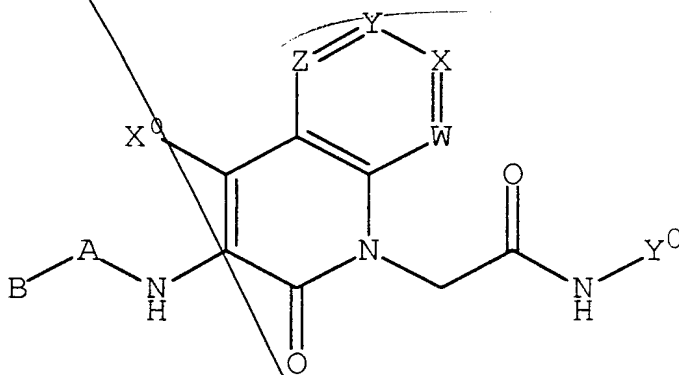
- R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido;
- R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido;
- 5 R^2 is 3-aminophenyl, B is cyclopropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido;
- R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido;
- 10 R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido;
- R^2 is 3-aminophenyl, B is cyclopentyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido;
- R^2 is 5-amino-2-thienyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido;
- 15 R^2 is 3-aminophenyl, B is cyclopropyl, A is CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido;
- R^2 is 3-aminophenyl, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido;
- R^2 is 3-aminophenyl, B is cyclopentyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido;
- 20 R^2 is 3-aminophenyl, B is cyclohexyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido;
- R^2 is 2-hydroxyphenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido;
- 25

R^2 is phenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido;

R^2 is 3-thienyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido;

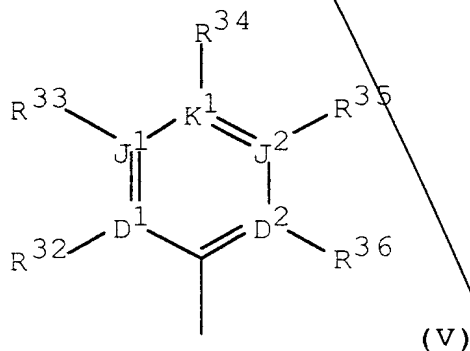
5 R^2 is 2,6-dichlorophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, R^1 is hydroxymethyl, and X^0 is hydrido.

33. The compound as recited in Claim 2 having the Formula:



10 or a pharmaceutically acceptable salt thereof, wherein;

B is the Formula (V):



wherein D^1 , D^2 , J^1 , J^2 and K^1 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is O,
15 no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is S, one of D^1 , D^2 , J^1 , J^2 and

K^1 must be a covalent bond when two of D^1 , D^2 , J^1 , J^2 and K^1 are O and S, and no more than four of D^1 , D^2 , J^1 , J^2 and K^1 are N;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

B is optionally selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

B is selected from the group consisting of C3-C7 cycloalkyl and C4-C6 saturated heterocyclyl, wherein each ring carbon is optionally substituted with R^{33} , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted with R^{10} , a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R^{10} position is optionally substituted with R^{11} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R^{12} position is optionally

substituted with R^{33} , and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the R^{11} and R^{33} positions is optionally substituted with R^{34} ;

R^9 , R^{10} , R^{11} , R^{12} , and R^{13} are independently selected from the

- 5 group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, heteroaryl, heterocyclyl, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxyalkyl, carboxy, carboxamido, and cyano;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is selected from the group

- 15 consisting of $(R^7)NC(O)$ and $N(R^7)$;

R^7 is selected from the group consisting of hydrido, hydroxy and alkyl;

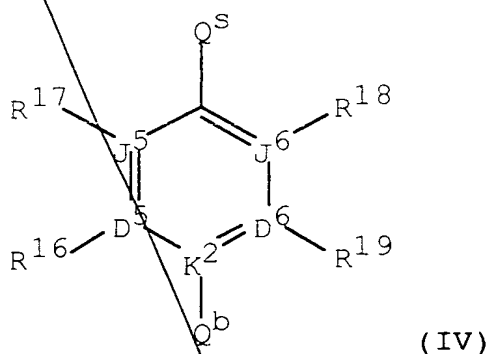
R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

- 20 X^0 is selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

- W, X, Y, and Z are independently selected from the group consisting of $C(R^9)$, $C(R^{10})$, $C(R^{11})$, $C(R^{12})$, N, $N(R^{10})$, O, S and a covalent bond with the provisos that one of W, X, Y, and Z is independently selected to be a covalent bond when one of W, X, Y, and Z is selected from the group consisting of N, $N(R^{10})$, O, and S, no more than one of W, X, Y, and Z is optionally selected from the group consisting of O and S, and no more than

three of W, X, Y, and Z are optionally selected from the group consisting of N and N(R¹⁰);

Y⁰ is formula (IV):



- 5 wherein D⁵, D⁶, J⁵, and J⁶ are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K² is C, no more than one of D⁵, D⁶, J⁵, and J⁶ is O, no more than one of D⁵, D⁶, J⁵, and J⁶ is S, one of D⁵, D⁶, J⁵, and J⁶ must be a covalent bond when two of D⁵, D⁶, J⁵, and J⁶ are O and S, and no
10 more than four of D⁵, D⁶, J⁵, and J⁶ are N, with the provisos that R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

- R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group
15 consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

- R¹⁶ and R¹⁹ are optionally Q^b with the proviso that no more than one
20 of R¹⁶ and R¹⁹ is Q^b at the same time and that Q^b is Q^{be};

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy, amino, alkylamino, or dialkylamino at the same time and that no more than one of R^{23} and R^{24} is

5 hydroxy, amino, alkylamino, or dialkylamino at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino;

Q^s is selected from the group consisting of a single covalent bond,

CH_2 , and CH_2CH_2 .

10

34. The compound as recited in Claim 33 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{32} , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at the point of attachment is optionally substituted by R^{33} , a carbon adjacent to R^{36} and two atoms from the carbon at the point of attachment is optionally substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} is optionally substituted by R^{34} ;

25 R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy,

isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamid sulfonyl, N,N-dimethylamid sulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q^b ;

Sub
A²

10 B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 3-butenyl, 2-butynyl, sec-butyl, ~~tert~~-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 1-methyl-2-butenyl, 1-methyl-3-butenyl, 1-methyl-2-butynyl, 3-pentyl, 1-ethyl-2-propenyl, 2-methylbutyl, 2-methyl-2-butenyl, 2-methyl-3-butenyl, 2-methyl-3-butynyl, 3-methylbutyl, 3-methyl-2-butenyl, 3-methyl-3-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-4-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 1-propyl-2-propenyl, 1-ethyl-2-butynyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 6-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-5-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-4-pentenyl, 1-butyl-2-propenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of

20 30 attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, thiaetan-3-yl, cyclopentyl, cyclohexyl, norbornyl, 7-oxabicyclo[2.2.1]heptan-2-yl,

bicyclo[3.1.0]hexan-6-yl, cycloheptyl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 4H-2-pyranyl, 4H-3-pyranyl, 4H-4-pyranyl, 4H-pyran-4-one-2-yl, 5 4H-pyran-4-one-3-yl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is optionally substituted with R^{33} , a ring carbon and nitrogen atoms adjacent to the carbon atom at the point of attachment is optionally substituted with R^9 or 10 R^{13} , a ring carbon or nitrogen atom adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted with R^{10} , and a ring carbon or nitrogen atom adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} ;

R^9 and R^{11} are independently selected from the group consisting of 15 hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, 20 chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidulosulfonyl, N,N-dimethylamidulosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of 25 hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidulosulfonyl, N,N-dimethylamidulosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 30 dimethylamidulosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl,

2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

A is selected from the group consisting of single covalent bond, NH, N(CH₃),

- 5 N(OH), CH₂, CH₃CH, CF₃CH, NHC(O), N(CH₃)C(O), C(O)NH, C(O)N(CH₃), CH₂CH₂, CH₂CH₂CH₂, CH₃CHCH₂, and CF₃CHCH₂;

A is optionally selected from the group consisting of CH₂N(CH₃),

CH₂N(CH₂CH₃), CH₂CH₂N(CH₃), and CH₂CH₂N(CH₂CH₃) with the proviso that B is hydrido;

- 10 X⁰ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-
- 15 tetrafluoroethoxy, fluoro, chloro, and bromo;

W, X, Y, and Z are independently selected from the group consisting of CH, N, CF, CCl, C-CN, C-CH₃, C-CH₂CH₃, C-NH₂, C-CH₂NH₂,

C-CH₂NHCH₃, C-NHCH₃, C-N(CH₃)₂, C-CH(NH₂)CH₃,

C-CH₂CH₂NH₂, C-NHOCH₃, C-NHOCH₂CH₃, C-C(NH)NH₂,

- 20 C-C(NOH)NH₂, C-OH, C-CH₂OH, C-CH₂CH₂OH, C-CH(OH)CH₃,

C-OCH₃, C-OCH₂CH₃, C-CO₂H, C-CO₂CH₃, C-C(O)NH₂,

C-C(O)NHCH₃, C-C(O)NH(CH₃)₂, C-CH₂CO₂H, C-SO₂NH₂,

C-SO₂NHCH₃, C-NH(O)CCH₃, and C-NH(O)CCF₃;

Y⁰ is selected from the group consisting of:

- 25 1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,

2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine,

3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine, 2-Q^b-4-Q^s-3-R¹⁶-6-R¹⁸ pyrazine, 3-Q^b-6-Q^s-2-R¹⁸-5-R¹⁸-4-R¹⁹ pyridazine,

2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸ pyrimidine, 5-Q^b-2-Q^s-3-R¹⁶-6-R¹⁹ pyrimidine,

3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene,

5 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ furan, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ furan,

3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ pyrrole, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ pyrrole,

4-Q^b-2-Q^s-5-R¹⁹ imidazole, 2-Q^b-4-Q^s-5-R¹⁷ imidazole,

3-Q^b-5-Q^s-4-R¹⁶ isoxazole, 5-Q^b-3-Q^s-4-R¹⁶ isoxazole,

2-Q^b-5-Q^s-4-R¹⁶ pyrazole, 4-Q^b-2-Q^s-5-R¹⁹ thiazole, and

10 2-Q^b-5-Q^s-4-R¹⁷ thiazole;

R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group

consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino,

15 N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl,

20 hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

R¹⁶ and R¹⁹ are optionally Q^b with the proviso that no more than one of R¹⁶ and R¹⁹ is Q^b at the same time and that Q^b is Q^{be};

Q^b is selected from the group consisting of NR²⁰R²¹, Q^{be} wherein Q^{be} is

25 hydrido, C(NR²⁵)NR²³R²⁴, and N(R²⁶)C(NR²⁵)N(R²³)(R²⁴), with the

~~R²⁰, R²¹, R²³, R²⁴, R²⁵, and R²⁶ are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;~~

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35. T
there

[illegible]

30 B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, 1-pyrrolidinyl, 1-piperidinyl, 1,1-dioxathiolan-3-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 7-oxabicyclo[2.2.1]heptan-2-yl, bicyclo[3.1.0]hexan-6-yl, 2-morpholinyl, 3-

morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 4H-2-pyranyl, 4H-3-pyranyl, 4H-4-pyranyl, 4H-pyran-4-one-2-yl, 4H-pyran-4-one-3-yl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl;

A is selected from the group consisting of single covalent bond, CH_2 , NHC(O) , CH_2CH_2 , and $\text{CH}_2\text{CH}_2\text{CH}_2$;

X° is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

W and Z are independently selected from the group consisting of CH, N, CF, CCl, C-CN, C-NH₂, C-CH₂NH₂, C-NHCH₃, C-OH, C-CH₂OH, C-CO₂H, and C-C(O)NH₂;

X and Y are independently selected from the group consisting of CH, N, CF, C-CN, C-CH₃, C-NH₂, C-CH₂NH₂, C-CH₂NHCH₃, C-NHCH₃, C-CH(NH₂)CH₃, C-CH₂CH₂NH₂, C-NHOCH₃, C-C(NH)NH₂, C-C(NOH)NH₂, C-OH, C-CH₂OH, C-CH₂CH₂OH, C-CH(OH)CH₃, C-OCH₃, C-CO₂H, C-C(O)NH₂, C-C(O)NHCH₃, C-CH₂CO₂H, and C-SO₂NH₂;

Y^0 is selected from the group consisting of:

1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,

2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine,

3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine,

3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, and 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene;

R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

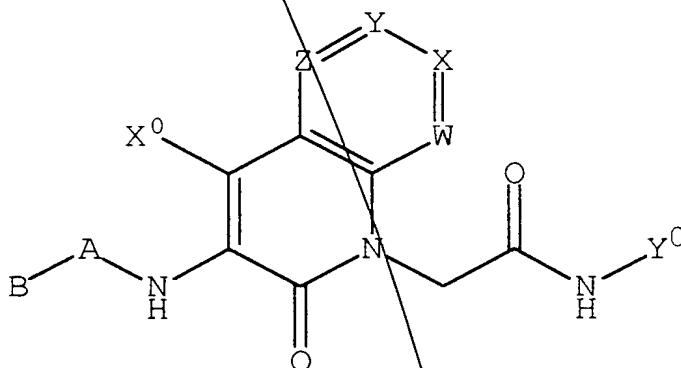
R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$;

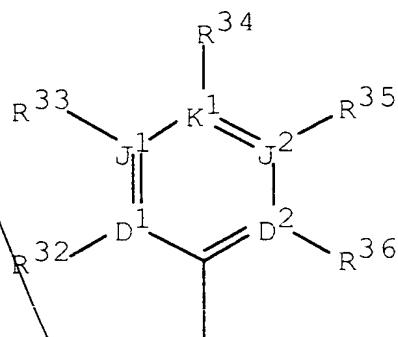
R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl;

Q^s is CH_2 .

36. The compound as recited in Claim 33 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;
B is the Formula (V):



wherein D^1 , D^2 , J^1 , J^2 and K^1 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is O, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is S, one of D^1 , D^2 , J^1 , J^2 and K^1 must be a covalent bond when two of D^1 , D^2 , J^1 , J^2 and K^1 are O and S, and no more than four of D^1 , D^2 , J^1 , J^2 and K^1 are N;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

B is optionally selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

B is optionally selected from the group consisting of C3-C7 cycloalkyl and C4-C6 saturated heterocyclyl, wherein each ring carbon is optionally substituted with R^{33} , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and

nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted with R^{10} , a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R^{10} position is optionally substituted with R^{11} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R^{12} position is optionally substituted with R^{33} , and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the R^{11} and R^{33} positions is optionally substituted with R^{34} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxyamido, and cyano;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is $N(R^7)$;

R^7 is selected from the group consisting of hydrido, hydroxy and alkyl;

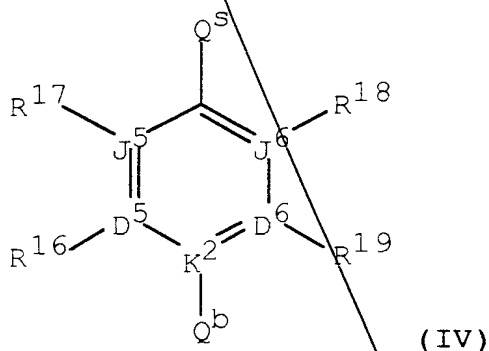
R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

X^0 is selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

R^1 and R^2 are taken together to be $-W=X-Y=Z-$ wherein $-W=X-Y=Z-$ forms a ring selected from the group consisting of a heteroaryl ring having 6 contiguous members and an aryl;

W, X, Y, and Z are independently selected from the group consisting of $C(R^9)$, $C(R^{10})$, $C(R^{11})$, $C(R^{12})$, and N;

Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N, with the provisos that R^{16} , R^{17} , R^{18} , and R^{19} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $N(R^{26})C(NR^{25})(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido and alkyl;

Q^s is CH_2 .

37. The compound as recited in Claim 36 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, and 5-isoxazolyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{32} , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at the point of attachment is optionally substituted by R^{33} , a carbon adjacent to R^{36} and two atoms from the carbon at the point of attachment is optionally substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} is optionally substituted by R^{34} ;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and Q^b ;

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B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butylnyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, oxetan-3-yl, azetidin-3-yl, thiaetan-3-yl, cyclopentyl, cyclohexyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is optionally substituted with R^{33} , a ring carbon and nitrogen atoms adjacent to the carbon atom at the point of attachment is optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen atom adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted

with R^{10} , and a ring carbon or nitrogen atom adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

A is selected from the group consisting of single covalent bond, NH, N(CH₃), CH₂, CH₃CH, and CH₂CH₂;

A is optionally selected from the group consisting of CH₂N(CH₃), CH₂N(CH₂CH₃), CH₂CH₂N(CH₃), and CH₂CH₂N(CH₂CH₃) with the proviso that B is hydrido;

X^O is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

W and Z are independently selected from the group consisting of CH, N, CF, CCl, C-CN, C-NH₂, C-CH₂NH₂, C-NHCH₃, C-OH, C-CH₂OH, C-CO₂H, and C-C(O)NH₂;

X and Y are independently selected from the group consisting of CH, N, CF, C-CN, C-CH₃, C-NH₂, C-CH₂NH₂, C-CH₂NHCH₃, C-NHCH₃, C-CH(NH₂)CH₃, C-CH₂CH₂NH₂, C-NHOCH₃, C-C(NH)NH₂,

C-C(NOH)NH₂, C-OH, C-CH₂OH, C-CH₂CH₂OH, C-CH(OH)CH₃,
C-OCH₃, C-CO₂H, C-C(O)NH₂, C-C(O)NHCH₃, C-CH₂CO₂H, and
C-SO₂NH₂;

Q^b is selected from the group consisting of NR²⁰R²¹,
5 C(NR²⁵)NR²³R²⁴, and N(R²⁶)C(NR²⁵)N(R²³)(R²⁴), with the proviso that
said Q^b group is bonded directly to a carbon atom;

R²⁰, R²¹, R²³, R²⁴, R²⁵, and R²⁶ are independently selected from the
group consisting of hydrido, methyl, and ethyl;

Q^s is CH₂.

10

38. The compound as recited in Claim 37 or a pharmaceutically acceptable salt
thereof, wherein;

B is selected from the group consisting of 2-aminophenyl, 3-
aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-
15 carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-
dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-
hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl,
4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-
trifluoromethylphenyl, 2-imidazolyl, 2-pyridyl, 3-pyridyl, 5-chloro-3-
20 trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and 3-
trifluoromethyl-2-pyridyl;

B is optionally selected from the group consisting of hydrido, ethyl, 2-
propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-
butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-
25 trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-
methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-
dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-
amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-
hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-
30 methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and
4-aminobutyl;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, 1,1-dioxathiolan-3-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 1-pyrrolidinyl and 1-piperidinyl;

5 A is selected from the group consisting of single covalent bond, CH_2 , CH_3CH , and CH_2CH_2 ;

X° is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

10 W and Z are independently selected from the group consisting of CH, N, CF, CCl, C-CN, C-NH₂, C-CH₂NH₂, C-OH, C-CH₂OH, C-CO₂H, and C-C(O)NH₂;

X and Y are independently selected from the group consisting of CH, N, CF, C-CN, C-NH₂, C-CH₂NH₂, C-CH₂CH₂NH₂, C-C(NH)NH₂,
15 C-C(NOH)NH₂, C-OH, C-CH₂OH, C-CH₂CH₂OH, C-CO₂H, C-C(O)NH₂, and C-CH₂CO₂H;

Q^b is selected from the group consisting of $\text{NR}^{20}\text{R}^{21}$, $\text{C}(\text{NR}^{25})\text{NR}^{23}\text{R}^{24}$, and $\text{N}(\text{R}^{26})\text{C}(\text{NR}^{25})\text{N}(\text{R}^{23})\text{R}^{24}$, with the proviso that said Q^b group is bonded directly to a carbon atom;

20 R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, methyl, and ethyl;

Q^s is CH_2 .

39. The compound as recited in Claim 38 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, phenyl, 2-imidazolyl, 3-pyridyl, 4-pyridyl, and 3-trifluoromethyl-2-pyridyl;

5 B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 10 4-aminobutyl;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, 1,1-dioxothiolan-3-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and 1-piperidinyl;

15 A is selected from the group consisting of single covalent bond, CH_2 , CH_3CH , and CH_2CH_2 ;

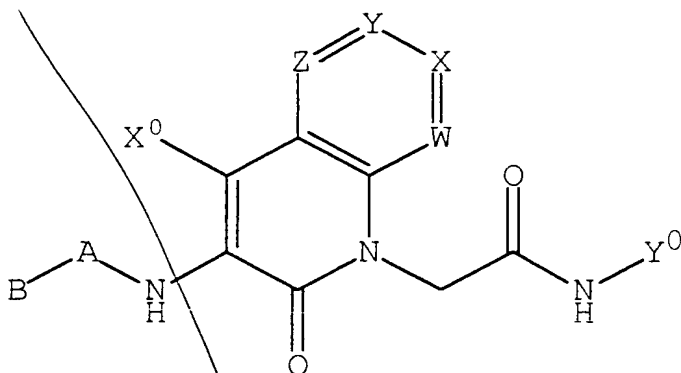
X^0 is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

20 W and Z are independently selected from the group consisting of CH, N, CF, CCl, C-CN, C-NH₂, C-CH₂NH₂, C-OH, C-CH₂OH, C-CO₂H, and C-C(O)NH₂;

X and Y are independently selected from the group consisting of CH, N, CF, C-CN, C-NH₂, C-CH₂NH₂, C-CH₂CH₂NH₂, C-C(NH)NH₂, 25 C-C(NOH)NH₂, C-OH, C-CH₂OH, C-CH₂CH₂OH, C-CO₂H, C-C(O)NH₂, and C-CH₂CO₂H;

Y^0 is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

30 40. A compound as recited in Claim 33 where said compound is selected from the group having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, W is CH, X is C- NH_2 , Y is C- $\text{CH}_2\text{CO}_2\text{H}$, Z is CH, and X^0 is hydrido;

5 B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, W is N, X is C- CH_2NH_2 , Y is C- CO_2H , Z is CH, and X^0 is hydrido;

B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, W is C-OH, X is C- $\text{CH}_2\text{CH}_2\text{NH}_2$, Y is C-OH, Z is CH, and X^0 is hydrido;

10 B is 2-imidazolyl, A is $\text{CH}_2\text{CH}_2\text{CH}_2$, Y^0 is 4-amidinobenzyl, W is C- NH_2 , X is C- CH_2OH , Y is C- NH_2 , Z is CH, and X^0 is hydrido;

B is 2,2,2-trifluoroethyl, A is single bond, Y^0 is 4-amidinobenzyl, W is CH, X is C- NH_2 , Y is C- $\text{CH}_2\text{CO}_2\text{H}$, Z is CH, and X^0 is hydrido;

B is (S)-2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, W is N, X is C- CH_2NH_2 , Y is C- CO_2H , Z is CH, and X^0 is hydrido;

15 B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, W is C-OH, X is C- $\text{CH}_2\text{CH}_2\text{NH}_2$, Y is C-OH, Z is CH, and X^0 is hydrido;

B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, W is C- NH_2 , X is C- CH_2OH , Y is C- NH_2 , Z is CH, and X^0 is hydrido;

B is hydrido, A is $\text{CH}_2(\text{CH}_3)\text{N}$, Y^0 is 4-amidinobenzyl, W is CH, X is C- NH_2 , Y is C- $\text{CH}_2\text{CO}_2\text{H}$, Z is CH, and X^0 is hydrido;

B is ethyl, A is single bond, Y^0 is 4-amidinobenzyl, W is N, X is C- CH_2NH_2 , Y is C- CO_2H , Z is CH, and X^0 is hydrido;

5 B is ethyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, W is C-OH, X is C- $\text{CH}_2\text{CH}_2\text{NH}_2$, Y is C-OH, Z is CH, and X^0 is hydrido;

B is 2-propenyl, A is single bond, Y^0 is 4-amidinobenzyl, W is C- NH_2 , X is C- CH_2OH , Y is C- NH_2 , Z is CH, and X^0 is hydrido;

10 B is isopropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, W is CH, X is C- NH_2 , Y is C- $\text{CH}_2\text{CO}_2\text{H}$, Z is CH, and X^0 is hydrido;

B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, W is N, X is C- CH_2NH_2 , Y is C- CO_2H , Z is CH, and X^0 is hydrido;

B is 2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, W is C-OH, X is C- $\text{CH}_2\text{CH}_2\text{NH}_2$, Y is C-OH, Z is CH, and X^0 is hydrido;

15 B is (R)-2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, W is C- NH_2 , X is C- CH_2OH , Y is C- NH_2 , Z is CH, and X^0 is hydrido;

B is 2-propynyl, A is single bond, Y^0 is 4-amidinobenzyl, W is CH, X is C- NH_2 , Y is C- $\text{CH}_2\text{CO}_2\text{H}$, Z is CH, and X^0 is hydrido;

20 B is hydrido, A is CH_2 , Y^0 is 4-amidinobenzyl, W is N, X is C- CH_2NH_2 , Y is C- CO_2H , Z is CH, and X^0 is hydrido;

B is cyclopropyl, A is single bond, Y^0 is 4-amidinobenzyl, W is C-OH, X is C- $\text{CH}_2\text{CH}_2\text{NH}_2$, Y is C-OH, Z is CH, and X^0 is hydrido;

B is cyclobutyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, W is C-NH₂, X is C-CH₂OH, Y is C-NH₂, Z is CH, and X^0 is hydrido;

B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, W is CH, X is C-NH₂, Y is C-CH₂CO₂H, Z is CH, and X^0 is hydrido;

5 B is cyclopropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, W is N, X is C-CH₂NH₂, Y is C-CO₂H, Z is CH, and X^0 is hydrido;

B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, W is C-OH, X is C-CH₂CH₂NH₂, Y is C-OH, Z is CH, and X^0 is hydrido;

10 B is cyclobutyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, W is C-NH₂, X is C-CH₂OH, Y is C-NH₂, Z is CH, and X^0 is hydrido;

B is cyclopentyl, A is single bond, Y^0 is 4-amidinobenzyl, W is CH, X is C-NH₂, Y is C-CH₂CO₂H, Z is CH, and X^0 is hydrido;

B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, W is N, X is C-CH₂NH₂, Y is C-CO₂H, Z is CH, and X^0 is hydrido;

15 B is cyclopropyl, A is CH₂, Y^0 is 4-amidinobenzyl, W is C-OH, X is C-CH₂CH₂NH₂, Y is C-OH, Z is CH, and X^0 is hydrido;

B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond, Y^0 is 4-amidinobenzyl, W is C-NH₂, X is C-CH₂OH, Y is C-NH₂, Z is CH, and X^0 is hydrido;

20 B is cyclopentyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, W is CH, X is C-NH₂, Y is C-CH₂CO₂H, Z is CH, and X^0 is hydrido;

B is cyclohexyl, A is CH₂CH₂, Y^0 is 4-amidinobenzyl, W is N, X is C-CH₂NH₂, Y is C-CO₂H, Z is CH, and X^0 is hydrido;

B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, W is C-OH, X is C- $\text{CH}_2\text{CH}_2\text{NH}_2$, Y is C-OH, Z is CH, and X^0 is hydrido;

B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, W is C- NH_2 , X is C- CH_2OH , Y is C- NH_2 , Z is CH, and X^0 is hydrido;

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5 B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, W is CH, X is C- NH_2 , Y is C- $\text{CH}_2\text{CO}_2\text{H}$, Z is CH, and X^0 is hydrido;

B is 2-imidazolyl, A is $\text{CH}_2\text{CH}_2\text{CH}_2$, Y^0 is 4-amidinobenzyl, W is N, X is C- CH_2NH_2 , Y is C- CO_2H , Z is CH, and X^0 is hydrido;

10 B is 2,2,2-trifluoroethyl, A is single bond, Y^0 is 4-amidinobenzyl, W is C-OH, X is C- $\text{CH}_2\text{CH}_2\text{NH}_2$, Y is C-OH, Z is CH, and X^0 is hydrido;

B is (S)-2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, W is C- NH_2 , X is C- CH_2OH , Y is C- NH_2 , Z is CH, and X^0 is hydrido;

B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, W is CH, X is C- NH_2 , Y is C- $\text{CH}_2\text{CO}_2\text{H}$, Z is CH, and X^0 is hydrido;

15 B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, W is N, X is C- CH_2NH_2 , Y is C- CO_2H , Z is CH, and X^0 is hydrido;

B is hydrido, A is $\text{CH}_2(\text{CH}_3)\text{N}$, Y^0 is 4-amidinobenzyl, W is C-OH, X is C- $\text{CH}_2\text{CH}_2\text{NH}_2$, Y is C-OH, Z is CH, and X^0 is hydrido;

20 B is ethyl, A is single bond, Y^0 is 4-amidinobenzyl, W is C- NH_2 , X is C- CH_2OH , Y is C- NH_2 , Z is CH, and X^0 is hydrido;

B is ethyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, W is CH, X is C- NH_2 , Y is C- $\text{CH}_2\text{CO}_2\text{H}$, Z is CH, and X^0 is hydrido;

B is 2-propenyl, A is single bond, Y^0 is 4-amidinobenzyl, W is N, X is $C-CH_2NH_2$, Y is $C-CO_2H$, Z is CH, and X^O is hydrido;

B is isopropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, W is C-OH, X is $C-CH_2CH_2NH_2$, Y is C-OH, Z is CH, and X^O is hydrido;

5 B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, W is $C-NH_2$, X is $C-CH_2OH$, Y is $C-NH_2$, Z is CH, and X^O is hydrido;

B is 2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, W is CH, X is $C-NH_2$, Y is $C-CH_2CO_2H$, Z is CH, and X^O is hydrido;

10 B is (R)-2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, W is N, X is $C-CH_2NH_2$, Y is $C-CO_2H$, Z is CH, and X^O is hydrido;

B is 2-propynyl, A is single bond, Y^0 is 4-amidinobenzyl, W is C-OH, X is $C-CH_2CH_2NH_2$, Y is C-OH, Z is CH, and X^O is hydrido;

B is hydrido, A is CH_2 , Y^0 is 4-amidinobenzyl, W is $C-NH_2$, X is $C-CH_2OH$, Y is $C-NH_2$, Z is CH, and X^O is hydrido;

15 B is cyclopropyl, A is single bond, Y^0 is 4-amidinobenzyl, W is CH, X is $C-NH_2$, Y is $C-CH_2CO_2H$, Z is CH, and X^O is hydrido;

B is cyclobutyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, W is N, X is $C-CH_2NH_2$, Y is $C-CO_2H$, Z is CH, and X^O is hydrido;

20 B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, W is C-OH, X is $C-CH_2CH_2NH_2$, Y is C-OH, Z is CH, and X^O is hydrido;

B is cyclopropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, W is $C-NH_2$, X is $C-CH_2OH$, Y is $C-NH_2$, Z is CH, and X^O is hydrido;

B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, W is CH, X is $C-NH_2$, Y is $C-CH_2CO_2H$, Z is CH, and X^O is hydrido;

B is cyclobutyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, W is N, X is $C-CH_2NH_2$, Y is $C-CO_2H$, Z is CH, and X^0 is hydrido;

B is cyclopentyl, A is single bond, Y^0 is 4-amidinobenzyl, W is C-OH, X is $C-CH_2CH_2NH_2$, Y is C-OH, Z is CH, and X^0 is hydrido;

5 B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, W is C-NH₂, X is $C-CH_2OH$, Y is C-NH₂, Z is CH, and X^0 is hydrido;

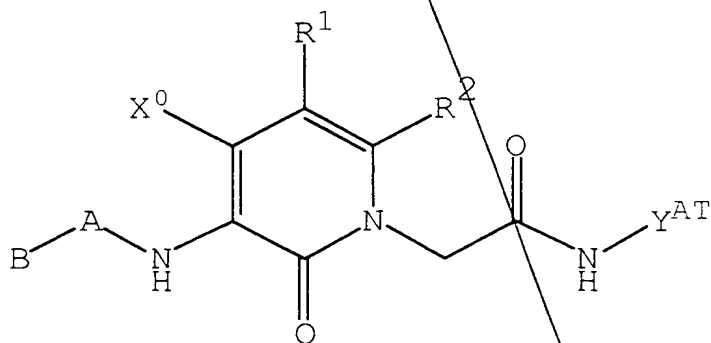
B is cyclopropyl, A is CH₂, Y^0 is 4-amidinobenzyl, W is CH, X is C-NH₂, Y is $C-CH_2CO_2H$, Z is CH, and X^0 is hydrido;

B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond, Y^0 is 4-amidinobenzyl, W is N, X is $C-CH_2NH_2$, Y is $C-CO_2H$, Z is CH, and X^0 is hydrido;

B is cyclopentyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, W is C-OH, X is $C-CH_2CH_2NH_2$, Y is C-OH, Z is CH, and X^0 is hydrido;

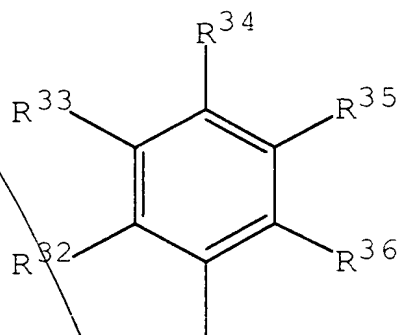
B is cyclohexyl, A is CH₂CH₂, Y^0 is 4-amidinobenzyl, W is C-NH₂, X is $C-CH_2OH$, Y is C-NH₂, Z is CH, and X^0 is hydrido.

41. The compound having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

20 B is the Formula:



R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the

group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio, alkanoyloxy, alkoxy, hydroxy, amino,

- 5 alkoxyamino, haloalkanoyl, nitro, lower alkylamino, alkylthio, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyalkyl, alkylamino, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

- 10 B is optionally selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} ,

- 15 R^{34} , R^{35} , and R^{36} ;

- B is optionally selected from the group consisting of C3-C12 cycloalkyl and C4-C saturated heterocyclyl, wherein each ring carbon is optionally substituted with R^{33} , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that
- 20 no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from the point of attachment is optionally

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substituted with R^{10} , a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} , a ring carbon three atoms from the point of attachment and adjacent to the R^{10} position is optionally substituted with R^{11} , a ring carbon three atoms from the point of attachment and adjacent to the R^{12} position is optionally substituted with R^{33} , and a ring carbon four atoms from the point of attachment and adjacent to the R^{11} and R^{33} positions is optionally substituted with R^{34} ;

10 R^9 , R^{10} , R^{11} , R^{12} , and R^{13} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfamido, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;

15 A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is selected from the group consisting of O, S, C(O), $(R^7)NC(O)$, $(R^7)NC(S)$, and $N(R^7)$;

R^7 is selected from the group consisting of hydrido, hydroxy and alkyl;

20 R^{15} is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

R^1 and X^O are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of covalent single bond and $(CR^{41}R^{42})_q$ wherein q is an integer selected from 1 through 2, $(CH(R^{41}))_g$, $W^0-(CH(R^{42}))_p$ wherein g and p are integers independently selected from 0 through 3 and W^0 is selected from the group consisting of O, S, and $N(R^{41})$,
 5 and $(CH(R^{41}))_e-W^{22}-(CH(R^{42}))_h$ wherein e and h are integers independently selected from 0 through 1 and W^{22} is selected from the group consisting of $CR^{41}=CR^{42}$, 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, with the
 10 proviso that Z^0 is directly bonded to the pyrazinone ring;

R^{41} and R^{42} are independently selected from the group consisting of hydrido, hydroxy, and amino;

Q is selected from the group consisting of hydrido with the proviso that Z^0 is other than a covalent single bond, aryl and heteroaryl, wherein a carbon
 20 adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally
 25 substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

K is CHR^{4a} wherein R^{4a} is selected from the group consisting of hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

E^0 is selected from the group consisting of a covalent single bond, $\text{C}(\text{O})\text{N}(\text{H})$, $(\text{H})\text{NC}(\text{O})$, $(\text{R}^7)\text{NS}(\text{O})_2$, and $\text{S}(\text{O})_2\text{N}(\text{R}^7)$;

5 Y^{AT} is $\text{Q}^b\text{-Q}^s$;

Q^s is $(\text{CR}^{37}\text{R}^{38})_b$ wherein b is an integer selected from 1 through 4,

R^{37} is selected from the group consisting of hydrido, alkyl, and haloalkyl, and

R^{38} is selected from the group consisting of hydrido, alkyl, haloalkyl, aroyl, and heteroaroyl with the provisos that there is at least one aroyl or heteroaroyl substituent, that no more than one aroyl or heteroaroyl is bonded to

10 $(\text{CR}^{37}\text{R}^{38})_b$ at the same time, that said aroyl and said heteroaroyl are

optionally substituted at from one through three of the ring carbons with a substituent selected from the group consisting of R^{16} , R^{17} , R^{18} , and R^{19} ,

that said aroyl and said heteroaroyl are bonded to the $\text{CR}^{37}\text{R}^{38}$ that is directly

15 bonded to E^0 , that is no more than one alkyl or one haloalkyl is bonded to a

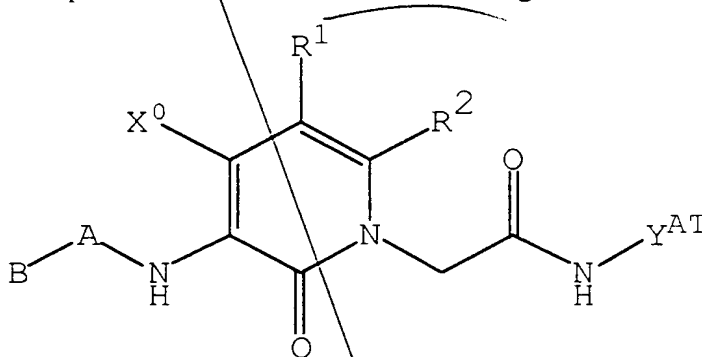
$\text{CR}^{37}\text{R}^{38}$ at the same time, and that said alkyl and haloalkyl are bonded to a carbon other than the one bonding the aroyl or heteroaroyl;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

20 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

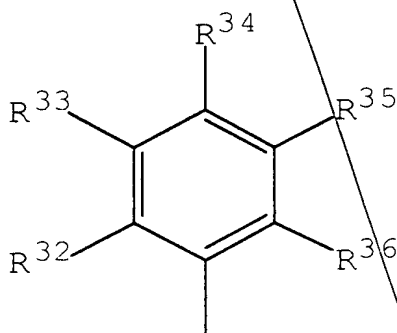
Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy, amino, alkylamino, or dialkylamino at the same time and that no more than one of R^{23} and R^{24} is hydroxy, amino, alkylamino, or dialkylamino at the same time; R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino.

42. The compound as recited in Claim 41 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

B is the Formula:



R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio,

ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and Q^b ;

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B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butynyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptyl, 3-heptyl, 4-heptyl, 5-heptyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and bicyclo[3.1.0]hexan-6-yl, wherein each ring carbon is optionally substituted with R^{33} , ring carbons and an nitrogen adjacent to the carbon atom at the point of attachment is optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted with R^{10} , and a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} ;

⁹, ¹¹, and ¹³ are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

¹⁰ and ¹² are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

A is selected from the group consisting of single covalent bond, NH, N(CH₃), CH₂, CH₃CH, CH₂CH₂, and CH₂CH₂CH₂;

¹ and X^o are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

² is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by ⁹, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by ¹³, a carbon adjacent to ⁹ and two atoms from the carbon at the point of attachment is optionally substituted by ¹⁰, a carbon adjacent to ¹³ and two atoms from the carbon at the point of

attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

Y^{AT} is Q^b-Q^s ;

Q^s is selected from the group consisting of:

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- 5 $C[R^{37}(\text{benzoyl})](CR^{37}R^{38})_b]$,
 $C[R^{37}(2\text{-pyridylcarbonyl})](CR^{37}R^{38})_b]$,
 $C[R^{37}(3\text{-pyridylcarbonyl})](CR^{37}R^{38})_b]$,
 $C[R^{37}(4\text{-pyridylcarbonyl})](CR^{37}R^{38})_b]$,
 $C[R^{37}(2\text{-thienylcarbonyl})](CR^{37}R^{38})_b]$,
10 $C[R^{37}(3\text{-thienylcarbonyl})](CR^{37}R^{38})_b]$,
 $C[R^{37}(2\text{-thiazolylcarbonyl})](CR^{37}R^{38})_b]$,
 $C[R^{37}(4\text{-thiazolylcarbonyl})](CR^{37}R^{38})_b]$, and
 $C[R^{37}(5\text{-thiazolylcarbonyl})](CR^{37}R^{38})_b]$, wherein b is an integer selected
from 1 through 3, R^{37} and R^{38} are independently selected from the group
15 consisting of hydrido, alkyl, and haloalkyl, with the provisos that said aroyl
and said heteroaroyl are optionally substituted at from one through three of the
ring carbons with a substituent selected from the group consisting of R^{16} ,
 R^{17} , R^{18} , and R^{19} with the proviso that R^{17} and R^{18} are optionally
substituted at a carbon selected from other than the meta and para carbons
20 relative to the carbonyl of the benzoyl substituent and the heteroaroyl
substituent, that said benzoyl and said heteroaroyl are bonded to the carbon
directly bonded to amide nitrogen of the 1-(amidocarbonylmethylene) group,
and that is no more than one alkyl or one haloalkyl is bonded to a $CR^{37}R^{38}$ at
the same time;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, carboxy, and cyano;

Q^b is selected from the group consisting of $NR^{20}R^{21}$ and $C(NR^{25})NR^{23}R^{24}$, with the proviso that said Q^b group is bonded directly to a carbon atom;

R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, methyl, and ethyl.

43. The compound as recited in Claim 42 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, and 3-trifluoromethylphenyl;

B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, and azetidin-3-yl;

A is selected from the group consisting of single covalent bond, CH₂,

5 CH₃CH, CH₂CH₂, and CH₂CH₂CH₂;

R¹ and X^O are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

10 R² is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

25 Y^{AT} is Q^b-Q^s;

Q^s is selected from the group consisting of:

[CH(benzoyl)](CH₂)_b, [CH(2-pyridylcarbonyl)](CH₂)_b,

[CH(3-pyridylcarbonyl)](CH₂)_b, [CH(4-pyridylcarbonyl)](CH₂)_b,

[CH(2-thienylcarbonyl)](CH₂)_b, [CH(3-thienylcarbonyl)](CH₂)_b,

30 [CH(2-thiazolylcarbonyl)](CH₂)_b, [CH(4-thiazolylcarbonyl)](CH₂)_b,

and $[\text{CH}(\text{5-thiazolylcarbonyl})](\text{CH}_2)_b$, wherein b is an integer selected from 1 through 3, with the provisos that said aroyl and said heteroaroyl are optionally substituted at from one through three of the ring carbons with a substituent selected from the group consisting of R^{16} , R^{17} , R^{18} , and R^{19} with the proviso that R^{17} and R^{18} are optionally substituted at a carbon selected from other than the meta and para carbons relative to the carbonyl of the benzoyl substituent and the heteroaroyl substituent, and that said benzoyl and said heteroaroyl substituent are bonded to the carbon directly bonded to amide nitrogen of the 1-(amidocarbonylmethylene) group;

R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is $\text{C}(\text{NR}^{25})\text{NR}^{23}\text{R}^{24}$;

R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl.

44. The compound as recited in Claim 43 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, and phenyl;

B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-

methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, and azetidin-3-yl;

A is selected from the group consisting of a single covalent bond, CH_2 , CH_2CH_2 and $\text{CH}_2\text{CH}_2\text{CH}_2$;

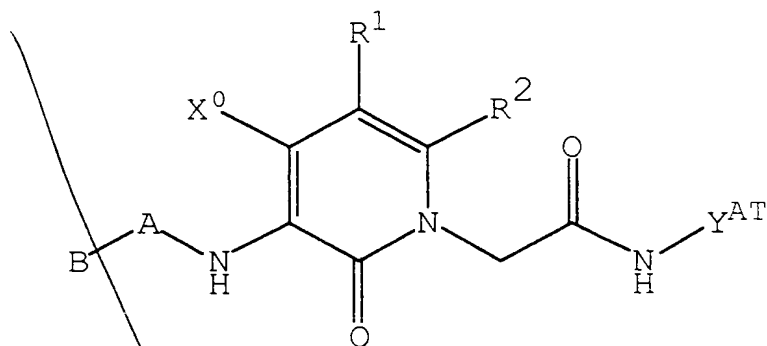
X^{O} is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

R^1 is selected from the group consisting of hydrido, hydroxy, amino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

R^2 is selected from the group consisting of 3-aminophenyl, benzyl, 2,6-dichlorophenyl, 5-amino-2-thienyl, 5-amino-2-fluorophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-carboxyphenyl, 3-cyanophenyl, 3-chlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylamino-phenyl, 3-methoxycarbonylphenyl, 3-dimethylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl, 3-pyridyl, 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl;

Y^{AT} is selected from the group consisting of 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(4-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(5-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(4-amino-2-thiazolyl)-2-pentyl, and 5-guanidino-1-oxo-1-phenyl-2-pentyl.

45. A compound as recited in Claim 41 where said compound is selected from the group having the Formula



or a pharmaceutically acceptable salt thereof, wherein:

R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is hydroxymethyl, and X^O is hydrido;

5 R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is hydroxymethyl, and X^O is hydrido;

R^2 is benzyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is hydroxymethyl, and X^O is hydrido;

10 R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is hydroxymethyl, and X^O is hydrido;

R^2 is benzyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is hydroxymethyl, and X^O is hydrido;

R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is hydroxymethyl, and X^O is hydrido;

15 R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is aminomethyl, and X^O is fluoro;

R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is aminomethyl, and X^O is fluoro;

20 R^2 is benzyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is aminomethyl, and X^O is fluoro;

R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is aminomethyl, and X^O is fluoro;

R^2 is benzyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is aminomethyl, and X^O is fluoro;

5 R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is aminomethyl, and X^O is fluoro;

R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is fluoro, and X^O is hydroxymethyl;

10 R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is fluoro, and X^O is hydroxymethyl;

R^2 is benzyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is fluoro, and X^O is hydroxymethyl;

R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is fluoro, and X^O is hydroxymethyl;

15 R^2 is benzyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is fluoro, and X^O is hydroxymethyl;

R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is fluoro, and X^O is hydroxymethyl;

20 R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is methoxy, and X^O is aminomethyl;

R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is methoxy, and X^O is aminomethyl;

R^2 is benzyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is methoxy, and X^O is aminomethyl;

R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is methoxy, and X^{O} is aminomethyl;

R^2 is benzyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is methoxy, and X^{O} is aminomethyl;

5 R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R^1 is methoxy, and X^{O} is aminomethyl.

10 46. A composition for inhibiting thrombotic conditions in blood comprising a compound of any one of Claims 8, 16, 24, 32, 40, and 45 and a pharmaceutically acceptable carrier.

15 47. A composition for inhibiting thrombotic conditions in blood comprising a compound of any one of Claims 1 through 7, Claims 9 through 15, Claims 17 through 23, Claims 25 through 31, Claims 33 through 39, and Claims 41 through 44 and a pharmaceutically acceptable carrier.

20 48. A method for inhibiting thrombotic conditions in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 46 and 47.

25 49. A method for inhibiting formation of blood platelet aggregates in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 46 and 47.

30 50. A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 46 and 47.

51. A method for treating or preventing venous thromboembolism and pulmonary embolism in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 46 and 47.

52. A method for treating or preventing deep vein thrombosis in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 46 and 47.

5 53. A method for treating or preventing cardiogenic thromboembolism in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 46 and 47.

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10 54. A method for treating or preventing thromboembolic stroke in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 46 and 47.

15 55. A method for treating or preventing thrombosis associated with cancer and cancer chemotherapy in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 46 and 47.

20 56. A method for treating or preventing unstable angina in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 46 and 47.

25 57. A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a compound of any one of Claims 1 through 45 with a therapeutically effective amount of fibrinogen receptor antagonist.

30 58. The use of a compound of any one of Claims 1 through 45, or a pharmaceutically acceptable salt thereof, in the manufacture of medicament for inhibiting thrombus formation, treating thrombus formation, or preventing thrombus formation in a mammal.